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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS	4	MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS	5	MAY 11	KOREAPAT updates resume
NEWS	6	MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS	7	MAY 30	IPC 8 Rolled-up Core codes added to CA/CAplus and USPATFULL/USPAT2
NEWS	8	MAY 30	The F-Term thesaurus is now available in CA/CAplus
NEWS	9	JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS	10	JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and and display fields
NEWS	11	JUN 28	Price changes in full-text patent databases EPFULL and PCTFULL
NEWS	12	JUl 11	CHEMSAFE reloaded and enhanced
NEWS	13	JUl 14	FSTA enhanced with Japanese patents
NEWS	14	JUl 19	Coverage of Research Disclosure reinstated in DWPI
NEWS	15	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS	16	AUG 28	ADISCTI Reloaded and Enhanced
NEWS	17	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS	18	SEP 11	CA/CAplus enhanced with more pre-1907 records
NEWS	19	SEP 21	CA/CAplus fields enhanced with simultaneous left and right truncation

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

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FILE 'HOME' ENTERED AT 13:10:16 ON 25 SEP 2006

=> FILE REG
COST IN U.S. DOLLARS SINCE FILE TOTAL

```
                                                      ENTRY     SESSION
FULL ESTIMATED COST                                    0.21        0.21

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STRUCTURE FILE UPDATES:   24 SEP 2006  HIGHEST RN 908332-13-8
DICTIONARY FILE UPDATES:  24 SEP 2006  HIGHEST RN 908332-13-8

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experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\517,294-R1-STR-Olsen et al.str

L1      STRUCTURE UPLOADED

=> D L1
L1 HAS NO ANSWERS
L1              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


=> S L1 SSS SAM
SAMPLE SEARCH INITIATED 13:11:19 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       30 TO ITERATE

100.0% PROCESSED       30 ITERATIONS                          17 ANSWERS
SEARCH TIME: 00.00.01

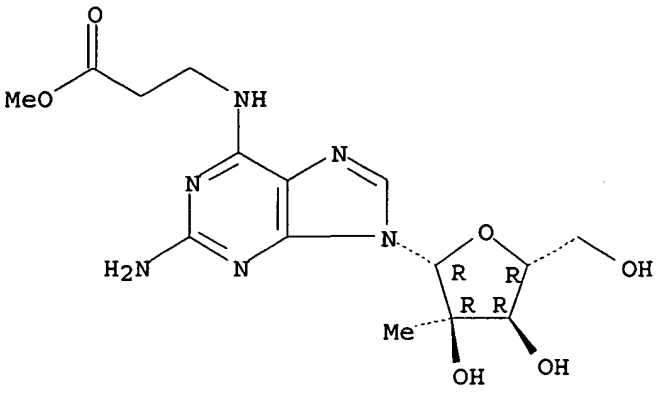
FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          272 TO      928
PROJECTED ANSWERS:              93 TO      587

L2           17 SEA SSS SAM L1

=> D SCAN

L2    17 ANSWERS   REGISTRY  COPYRIGHT 2006 ACS on STN
IN    β-Alanine, N-[2-amino-9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-
      6-yl]-, methyl ester (9CI)
MF    C15 H22 N6 O6

Absolute stereochemistry.
```



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):N

=> S L1 SSS FULL
FULL SEARCH INITIATED 13:11:56 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 557 TO ITERATE

100.0% PROCESSED 557 ITERATIONS 296 ANSWERS
SEARCH TIME: 00.00.01

L3 296 SEA SSS FUL L1

=> FILE CAPLUS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	167.82	168.03

FILE 'CAPLUS' ENTERED AT 13:12:15 ON 25 SEP 2006
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FILE COVERS 1907 - 25 Sep 2006 VOL 145 ISS 14
FILE LAST UPDATED: 24 Sep 2006 (20060924/ED)

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=> D HIS

(FILE 'HOME' ENTERED AT 13:10:16 ON 25 SEP 2006)

FILE 'REGISTRY' ENTERED AT 13:10:34 ON 25 SEP 2006
L1 STRUCTURE UPLOADED
L2 17 S L1 SSS SAM
L3 296 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:12:15 ON 25 SEP 2006

=> S L1
REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 13:12:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 30 TO ITERATE

100.0% PROCESSED 30 ITERATIONS 17 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 272 TO 928
PROJECTED ANSWERS: 93 TO 587

L4 17 SEA SSS SAM L1

L5 20 L4

=> S L3
L6 98 L3

=> D L5 ed ibib abs hitstr 1-20

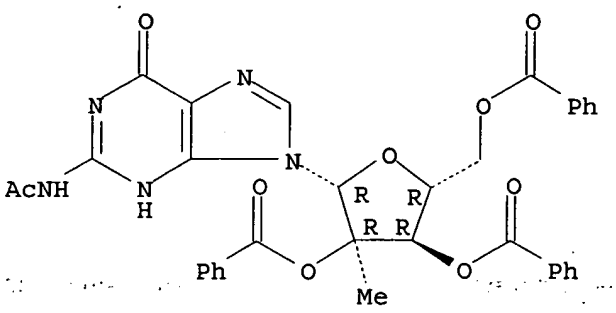
L5 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 20 Apr 2006
ACCESSION NUMBER: 2006:357151 CAPLUS
DOCUMENT NUMBER: 145:46235
TITLE: Efficient Synthesis of 2'-C-β-Methylguanosine
AUTHOR(S): Li, Nan-Sheng; Piccirilli, Joseph A.
CORPORATE SOURCE: Howard Hughes Medical Institute, Department of Biochemistry Molecular Biology and Department of Chemistry, The University of Chicago, Chicago, IL, 60637, USA
SOURCE: Journal of Organic Chemistry (2006), 71(10), 4018-4020
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:46235
AB 2'-β-Me nucleosides have potential value as therapeutic agents and as nucleoside analogs for exploring RNA biol. Here we develop a strategy for efficient synthesis for 2'-C-β-methylguanosine (3). Starting from 1,2,3,5-tetra-O-benzoyl-2-C-β-methyl-D-ribofuranose (1) and N2-acetylguanine, we obtained the title compound in two steps (78% overall yield) with high stereoselectivity (β/α > 99:1) and high regioselectivity (N9/N7 > 99:1). Extension of this strategy to the classic synthesis of guanosine also resulted in high stereoselectivity (β/α = 99:1) and improved regioselectivity (N9/N7 = 97:3).
IT 890131-90-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 2'-C-β-methylguanosine via stereoselective and regioselective coupling reaction of N2-acetylguanine with 2-C-β-methyl-D-ribofuranose)

RN 890131-90-5 CAPLUS

CN Guanosine, N-acetyl-2'-C-methyl-, 2',3',5'-tribenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 11 Mar 2005
ACCESSION NUMBER: 2005:216597 CAPLUS
DOCUMENT NUMBER: 142:291323
TITLE: Compositions and methods for the treatment of severe acute respiratory syndrome (SARS)
INVENTOR(S): Hardee, Greg; Dellamary, Luis
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 217 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020885	A2	20050310	WO 2004-US16196	20040521
WO 2005020885	A3	20050804		

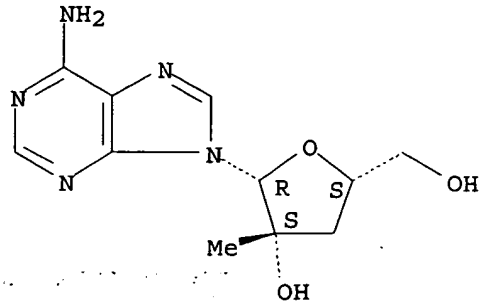
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-472774P P 20030521

AB The invention provides compns. and methods for treating a coronavirus infection, especially a SARS CoV infection. The compns. comprise an antiviral nucleoside or mimetic thereof, or an antiviral antisense agent, in a form suitable for pulmonary or nasal delivery. The methods comprise administration to a patient in need thereof the effective amount of an antiviral composition by pulmonary or nasal instillation.

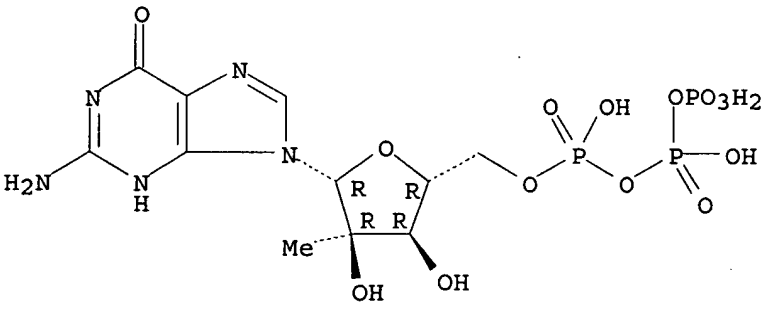
IT 109923-62-8 374750-29-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. and methods for treatment of severe acute respiratory syndrome)
RN 109923-62-8 CAPLUS
CN 9H-Purin-6-amine, 9-(3-deoxy-2-C-methyl-β-D-threo-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 374750-29-5 CAPLUS
CN Guanosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Feb 2005
ACCESSION NUMBER: 2005:150037 CAPLUS
DOCUMENT NUMBER: 142:348134
TITLE: Synthesis, conformational analysis, and biological activity of new analogues of thiazole-4-carboxamide adenine dinucleotide (TAD) as IMP dehydrogenase inhibitors
AUTHOR(S): Franchetti, Palmarisa; Cappellacci, Loredana; Pasqualini, Michela; Petrelli, Riccardo; Jayaprakasan, Vetrichelvan; Jayaram, Hiremagalur N.; Boyd, Donald B.; Jain, Manojkumar D.; Grifantini, Mario
CORPORATE SOURCE: Dipartimento di Scienze Chimiche, Universita di Camerino, Camerino, 62032, Italy
SOURCE: Bioorganic & Medicinal Chemistry (2005), 13(6), 2045-2053
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:348134

AB Thiazole-4-carboxamide adenine dinucleotide (TAD) analogs T-2'-MeAD (1) and T-3'-MeAD (2) containing, resp., a Me group at the ribose 2'-C-, and 3'-C-position of the adenosine moiety, were prepared as potential selective human inosine monophosphate dehydrogenase (IMPDH) type II inhibitors. The synthesis of heterodinucleotides was carried out by CDI-catalyzed coupling reaction of unprotected 2'-C-methyl- or 3'-C-methyl-AMP with 2',3'-O-isopropylidene-tiazofurin 5'-monophosphate, and then deisopropylidenation. Biol. evaluation of dinucleotides 1 and 2 as inhibitors of recombinant human IMPDH type I and type II resulted in a good activity. Inhibition of both isoenzymes by T-2'-MeAD and T-3'-MeAD was noncompetitive with respect to NAD substrate. Binding of T-3'-MeAD was comparable to that of parent compound TAD, while T-2'-MeAD proved to be a weaker inhibitor. However, no significant difference was found in inhibition of the IMPDH isoenzymes. T-2'-MeAD and T-3'-MeAD were found to inhibit the growth of K562 cells (IC50 30.7 and 65.0 μM, resp.).

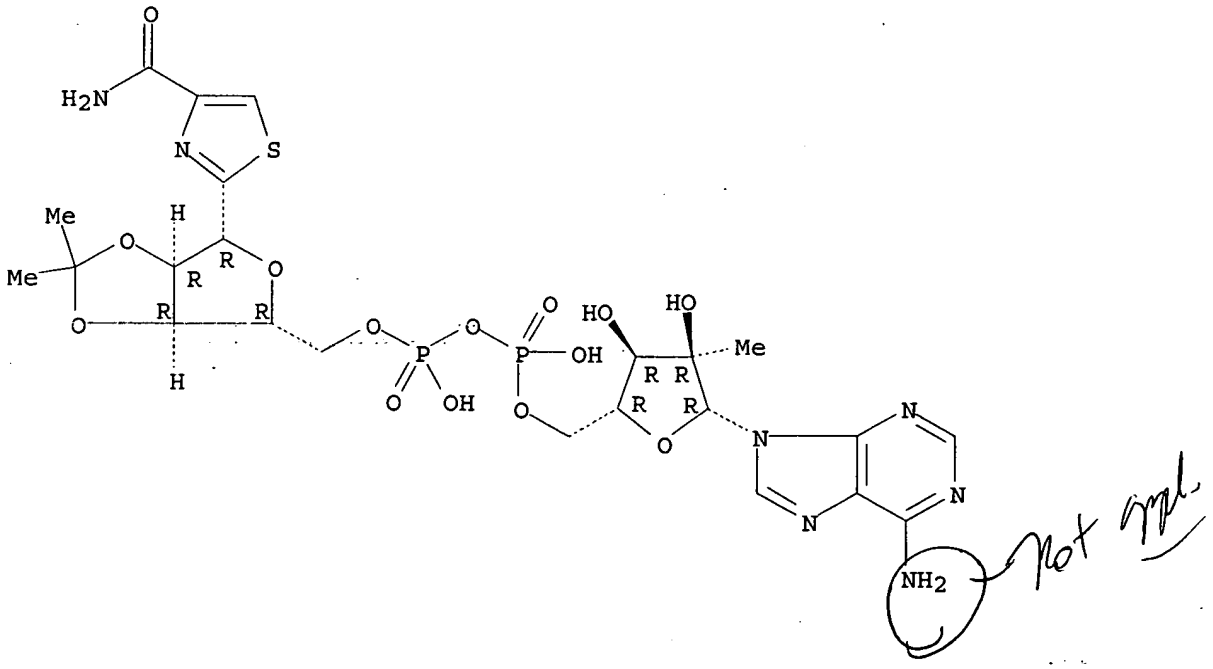
IT 867258-93-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis, conformational anal., and biol. activity of new analogs of thiazole-4-carboxamide adenine dinucleotide (TAD) as IMP dehydrogenase inhibitors)

RN 867258-93-3 CAPLUS

CN Adenosine 5'-(trihydrogen diphosphate), 2'-C-methyl-, P'→5'-ester with 2-[2,3-O-(1-methylethylidene)-β-D-ribofuranosyl]-4-thiazolecarboxamide, diammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



Not appl.

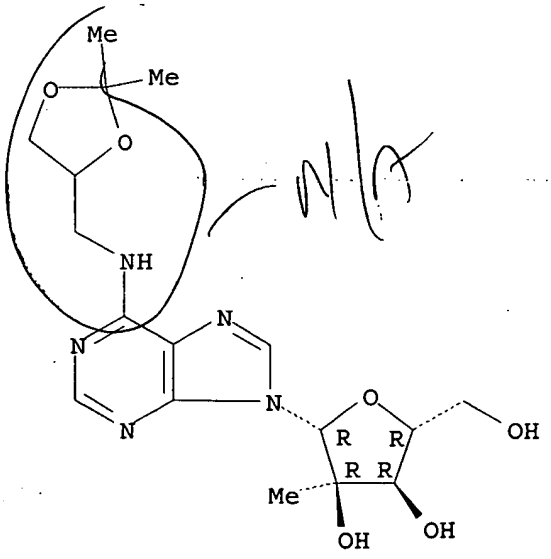
PAGE 2-A

●2 NH_3

REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

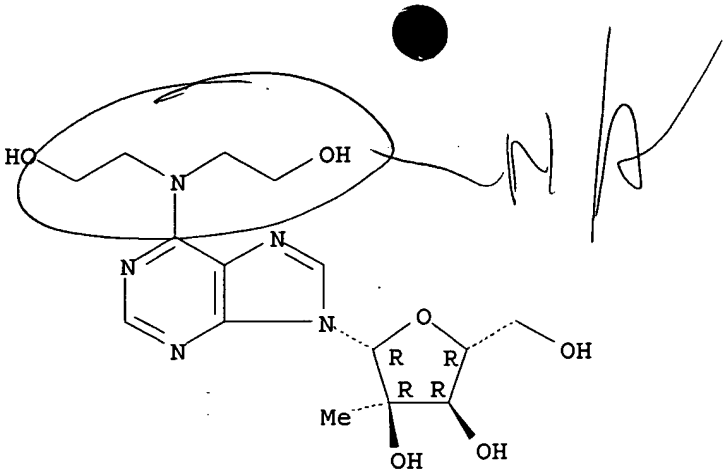
L5 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 28 Jan 2005
ACCESSION NUMBER: 2005:74688 CAPLUS
DOCUMENT NUMBER: 142:336573
TITLE: Synthesis of 9-(2-β-C-methyl-β-D-ribofuranosyl)-6-substituted purine derivatives as inhibitors of HCV RNA replication
AUTHOR(S): Ding, Yili; Girardet, Jean-Luc; Hong, Zhi; Lai, Vicky C. H.; An, Haoyun; Koh, Yung-hyo; Shaw, Stephanie Z.; Zhong, Weidong
CORPORATE SOURCE: Valeant Pharmaceuticals International, Costa Mesa, CA, 92626, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(3), 709-713
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of 9-(2'-β-C-methyl-β-D-ribofuranosyl)-6-substituted purine derivs. were synthesized as potential inhibitors of HCV RNA replication. Their inhibitory activities in a cell based HCV replicon assay were reported. A prodrug approach was used to further improve the potency of these compds. by increasing the intracellular levels of 5'-monophosphate metabolites. These nucleotide prodrugs showed much improved inhibitory activities of HCV RNA replication.
IT 565435-07-6P 565435-09-8P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of 9-(2-β-C-methyl-β-D-ribofuranosyl)-6-substituted purine derivs. as inhibitors of HCV RNA replication)
RN 565435-07-6 CAPLUS
CN Adenosine, N-[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 565435-09-8 CAPLUS
CN Adenosine, N,N-bis(2-hydroxyethyl)-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 18 Oct 2004
ACCESSION NUMBER: 2004:848340 CAPLUS
DOCUMENT NUMBER: 142:226
TITLE: A 7-deaza-adenosine analog is a potent and selective inhibitor of hepatitis C virus replication with excellent pharmacokinetic properties
AUTHOR(S): Olsen, David B.; Eldrup, Anne B.; Bartholomew, Linda; Bhat, Balkrishen; Bosserman, Michele R.; Ceccacci, Alessandra; Colwell, Lawrence F.; Fay, John F.; Flores, Osvaldo A.; Getty, Krista L.; Grobler, Jay A.; LaFemina, Robert L.; Markel, Eric J.; Migliaccio, Giovanni; Prhavc, Marija; Stahlhut, Mark W.; Tomassini, Joanne E.; MacCoss, Malcolm; Hazuda, Daria J.; Carroll, Steven S.
CORPORATE SOURCE: Department of Biological Chemistry, Merck Research Laboratories, West Point, PA, USA
SOURCE: Antimicrobial Agents and Chemotherapy (2004), 48(10), 3944-3953
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Improved treatments for chronic hepatitis C virus (HCV) infection are needed due to the suboptimal response rates and deleterious side effects associated with current treatment options. The triphosphates of 2'-C-methyl-adenosine and 2'-C-methyl-guanosine were previously shown to be potent inhibitors of the HCV RNA-dependent RNA polymerase (RdRp) that is responsible for the replication of viral RNA in cells. Here we demonstrate that the inclusion of a 7-deaza modification in a series of purine nucleoside triphosphates results in an increase in inhibitory potency against the HCV RdRp and improved pharmacokinetic properties. Notably, incorporation of the 7-deaza modification into 2'-C-methyl-adenosine results in an inhibitor with a 20-fold-increased potency as the 5'-triphosphate in HCV RdRp assays while maintaining the inhibitory potency of the nucleoside in the bicistronic HCV replicon and with reduced cellular toxicity. In contrast, while 7-deaza-2'-C-methyl-GTP also displays enhanced inhibitory potency in enzyme assays, due to poor cellular penetration and/or metabolism, the nucleoside does not inhibit replication of a bicistronic HCV replicon in cell culture. 7-Deaza-2'-C-methyl-adenosine displays promising in vivo pharmacokinetics in three animal species, as well as an acute oral LD in excess of 2,000 mg/kg of body weight in mice. Taken together, these data demonstrate that 7-deaza-2'-C-methyl-adenosine is an attractive candidate for further investigation as a potential treatment for HCV infection.

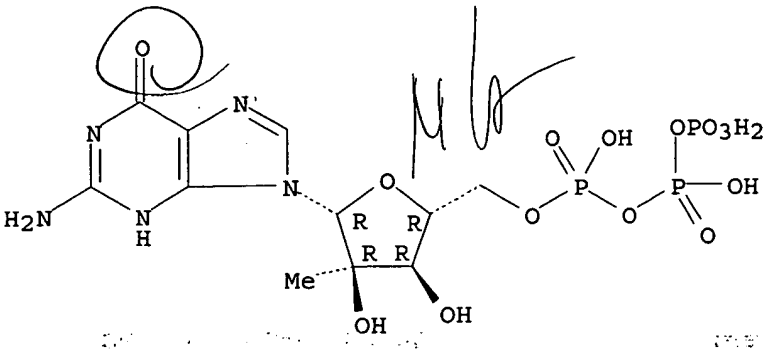
IT 374750-29-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)
(a 7-deaza-adenosine analog is a potent and selective inhibitor of hepatitis C virus replication with excellent pharmacokinetic properties)

RN 374750-29-5 CAPLUS

CN Guanosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 06 Aug 2004

ACCESSION NUMBER: 2004:633938 CAPLUS

DOCUMENT NUMBER: 141:157387

TITLE: Synthesis and use of 2'-substituted-N6-modified nucleosides as antiviral agents

INVENTOR(S): An, Haoyun; Ramasamy, Kanda; Shaw, Stephanie

PATENT ASSIGNEE(S): Ribapharm Inc., USA

SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

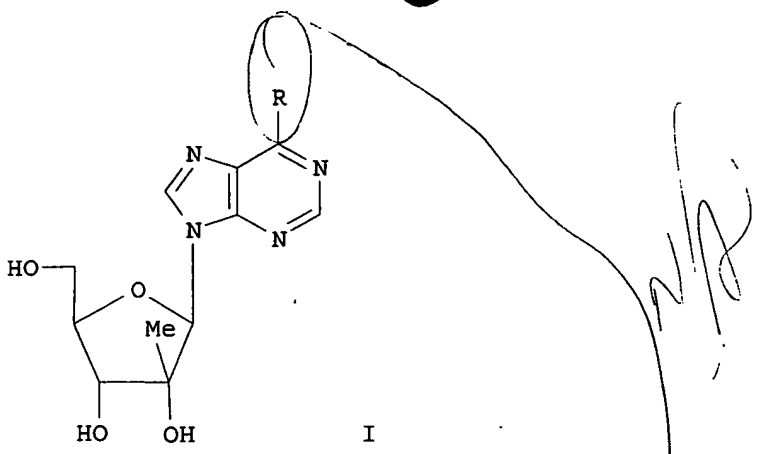
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004065398	A2	20040805	WO 2004-US1125	20040115
WO 2004065398	A3	20050303		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
US 2006135465	A1	20060622	US 2006-542235	20060123
PRIORITY APPLN. INFO.:			US 2003-440666P P	20030115
			WO 2004-US1125 W	20040115

OTHER SOURCE(S): CASREACT 141:157387; MARPAT 141:157387

GI



I

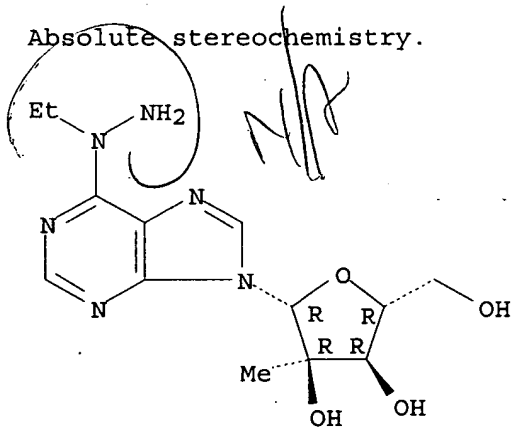
AB An improved method of preparing a sugar modified nucleoside analog I, wherein R is selected from the group consisting of NH2NH2, N(CH3)NH2, N(CH2CH3)NH2, N(CH3)OH, NHOH, NHOCH3, NHOCH2CH3, NHN(CH3)2, N(CH3)NHCH3, NHNHCH3, NHNHOCH3, and NHNHCOOCH3, includes a protocol in which a hydroxy group of a sugar is selectively deprotected and oxidized prior to nucleophilic modification of the corresponding carbonyl group. The modified sugar is then coupled to a heterocyclic base that is modified with a dual nucleophilic reagent in a further step that provides N6-modified adenosine analogs with high stereoselectivity. Contemplated antiviral and immunomodulatory activities of title nucleosides are reported (no data). Thus, I [R = N(Me)NH2] was prepared from 2-iodo-benzoic acid via stereoselective glycosylation with 6-chloropurine.

IT 728022-78-4P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and use of 2'-substituted-N6-modified nucleosides as antiviral agents via stereoselective glycosylation)

RN 728022-78-4 CAPLUS

CN 9H-Purine, 6-(1-ethylhydrazino)-9-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 11 Jan 2004
ACCESSION NUMBER: 2004:20801 CAPLUS
DOCUMENT NUMBER: 140:70987
TITLE: Nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase
INVENTOR(S): Olsen, David B.; Maccoss, Malcolm; Bhat, Balkrishen; Eldrup, Anne B.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004003138	A2	20040108	WO 2003-US19776	20030623
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488484	AA	20040108	CA 2003-2488484	20030623
AU 2003269892	A1	20040119	AU 2003-269892	20030623
EP 1572945	A2	20050914	EP 2003-751779	20030623
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006512288	T2	20060413	JP 2004-517749	20030623
PRIORITY APPLN. INFO.:			US 2002-392438P P	20020627
			WO 2003-US19776 W	20030623

OTHER SOURCE(S): MARPAT 140:70987

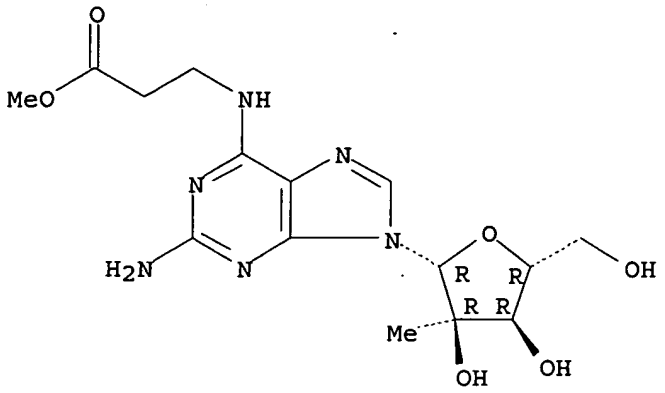
AB The invention provides nucleoside compds. and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the invention. Preparation of nucleoside derivs. is included.

IT 641571-39-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nucleoside derivs. as inhibitors of RNA-dependent RNA viral polymerase)

RN 641571-39-3 CAPLUS

CN β-Alanine, N-[2-amino-9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

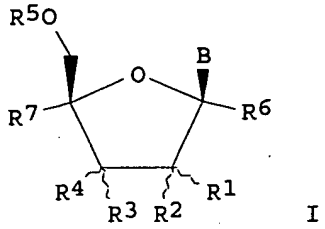


L5 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 02 Jan 2004
ACCESSION NUMBER: 2004:2898 CAPLUS
DOCUMENT NUMBER: 140:42424
TITLE: Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase
INVENTOR(S): Carroll, Steven S.; Olsen, David B.; Durette, Philippe L.; Bhat, Balkrishen; Dande, Prasad; Eldrup, Anne B.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000858	A2	20031231	WO 2003-US19172	20030617
WO 2004000858	A3	20050512		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488534	AA	20031231	CA 2003-2488534	20030617
AU 2003269890	A1	20040106	AU 2003-269890	20030617
EP 1551421	A2	20050713	EP 2003-751777	20030617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005530843	T2	20051013	JP 2004-515870	20030617

PRIORITY APPLN. INFO.: US 2002-390579P P 20020621
WO 2003-US19172 W 20030617

OTHER SOURCE(S): MARPAT 140:42424
GI



I

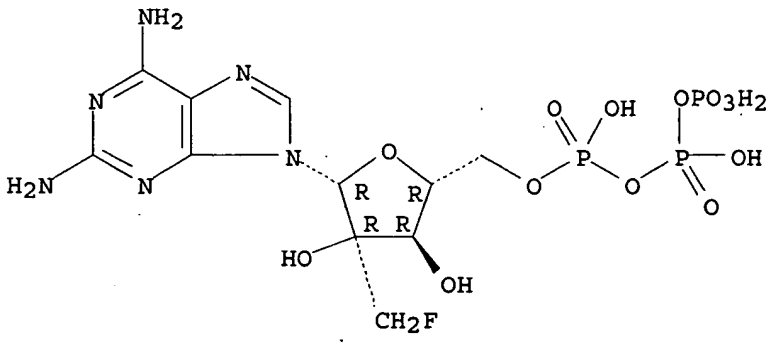
AB The present invention provides nucleoside compds. I, wherein B is nucleobase; R1 is fluoromethyl, difluoromethyl, trifluoromethyl; R2 is H, F, amino, OH, SH, alkoxy, alkylcarbonyloxy, alkyl; R3 and R4 are independently H, Cn, N3, halogen, OH, SH, amino, alkoxy, alkylcarconyloxy, alkenyl, alkynyl; R5 is H, alkylcarbonyl, P3O9H4, P2O6H3, phosphophonyl; R6 and R7 independently H, Me, hydroxymethyl, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 2-amino-9-(2-C-fluoromethyl-β-D-ribofuranosyl)-3,9-dihydropurin-6-one was prepared and tested as inhibitor of RNA-dependent RNA viral polymerase. Title compds. tested in the HCV NS5B polymerase assay exhibited IC50's less than 100 μmol.

IT 636581-99-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside derivs. as inhibitors of RNA-dependent RNA viral polymerase)

RN 636581-99-2 CAPLUS

CN Adenosine 5'-(tetrahydrogen triphosphate), 2-amino-2'-C-(fluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 14 Nov 2003
ACCESSION NUMBER: 2003:892793 CAPLUS
DOCUMENT NUMBER: 139:365176

TITLE: Preparation of nucleoside derivatives for treating hepatitis C virus infection

INVENTOR(S): Roberts, Christopher Don; Dyatkina, Natalia B.; Keicher, Jesse D.; Liehr, Sebastian Johannes Reinhard; Hanson, Eric Jason

PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA

SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

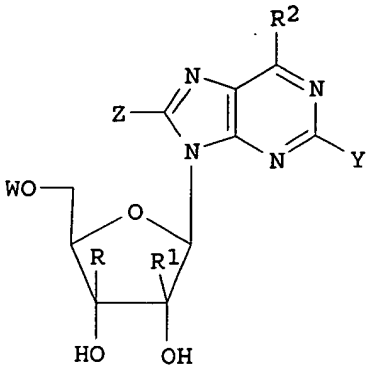
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093290	A2	20031113	WO 2003-US14237	20030506
WO 2003093290	A3	20040318		
WO 2003093290	C1	20050519		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2484921	AA	20031113	CA 2003-2484921	20030506
AU 2003232071	A1	20031117	AU 2003-232071	20030506
US 2004063658	A1	20040401	US 2003-431631	20030506
EP 1501850	A2	20050202	EP 2003-747674	20030506
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2003009581	A	20050329	BR 2003-9581	20030506
CN 1653077	A	20050810	CN 2003-810239	20030506
JP 2005530759	T2	20051013	JP 2004-501429	20030506
NO 2004005247	A	20041130	NO 2004-5247	20041130

PRIORITY APPLN. INFO.:

US 2002-378624P	P	20020506
US 2002-392871P	P	20020628
WO 2003-US14237	W	20030506

OTHER SOURCE(S): MARPAT 139:365176

GI



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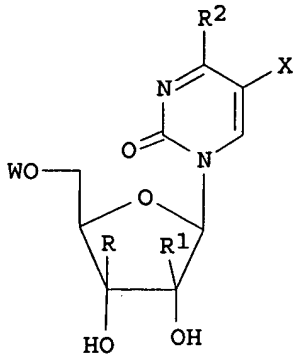

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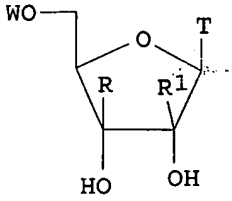


III

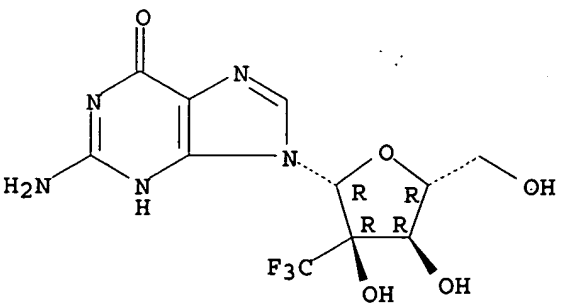
AB Nucleosides I-III, wherein R and R1 are independently H, alkyl, alkenyl, alkynyl, provided that R and R1 are not both H; R2 is alkyl, cycloalkyl, alkenyl, alkynyl, acylamino, guanidino, amidino, thioacylamino, OH, alkoxy, halo, nitro, aryl, heteroaryl, substituted amine; W is H, phosphate, phosphonate, acyl, alkyl, sulfonate, lipid, amino acid, sugar residue, peptide, cholesterol; X is H, halo, alkyl, substituted amine; Y is H, halo, OH, alkylthio, substituted amine; Z is H, halo, OH, alkyl, substituted amine; T is nucleobase, were prepared as HCV RNA polymerase inhibitors and for treating hepatitis C virus infections. Thus, 2-(4-amino-pyrrolo[3,2-c]pyridin-1-yl)-5-hydroxymethyl-3-methyltetrahydro-furan-3,4-diol was prepared for treating hepatitis C virus infections (no data). Different kind of formulation such as tablet, capsule, suspension, injectable, and suppository formulation are reported.

IT 622380-71-6P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside derivs. for treating hepatitis C virus infection)

RN 622380-71-6 CAPLUS

CN Guanosine, 2'-C-(trifluoromethyl)- (9CI) (CA INDEX NAME)

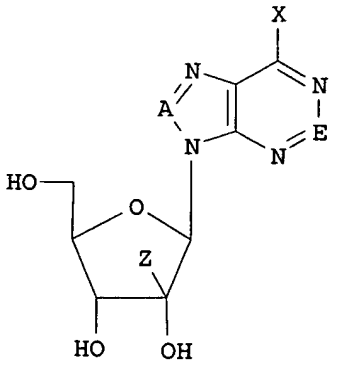
Absolute stereochemistry.



L5 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 01 Aug 2003
ACCESSION NUMBER: 2003:591196 CAPLUS
DOCUMENT NUMBER: 139:133790
TITLE: Preparation of 2'-β-modified-6-substituted adenosine analogs and their use as antiviral agents
INVENTOR(S): An, Haoyun; Ding, Yili; Shaw, Stephanie; Hong, Zhi
PATENT ASSIGNEE(S): Ribapharm Inc., USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062256	A1	20030731	WO 2002-US34026	20021023
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2006183706	A1	20060817	US 2006-530627	20060227
PRIORITY APPLN. INFO.:			US 2002-350296P P	20020117
			WO 2002-US34026 W	20021023

OTHER SOURCE(S): MARPAT 139:133790
GI



I

AB Various 2'-beta-methyl-6-substituted adenosine analogs I in which Z is selected from the group consisting of an alkyl, an O-alkyl, an alkenyl, an alkynyl, and CN, wherein the alkyl, the alkenyl, or the alkynyl is optionally substituted with a halogen or OH; A is CH or N, and E is C-R6 or N, such that (1) when A is CH then E is C-R6 or N, and (2) when A is N then E is CH; X is NR1R2, NR2NR3R4, NR2N=NR3, NR2N=CHR3, NR2N=O, NR2C(=O)NR3R4, NR2C(=S)NR3R4, NR2C(=NH)NR3R4, NR1C(=O)NR2NR3R4, NR2OR3, ONHC(O)O-alkyl, ONHC(O)O-aryl, ONR3R4, SNR1R2, SONR1R2, or S(O)2NR1R2; wherein R1-R4 are independently H, alkyl, substituted alkyl, O-alkyl, cyclic alkyl, heterocyclic alkyl, alkoxy, alkaryl, aryl, heterocyclic

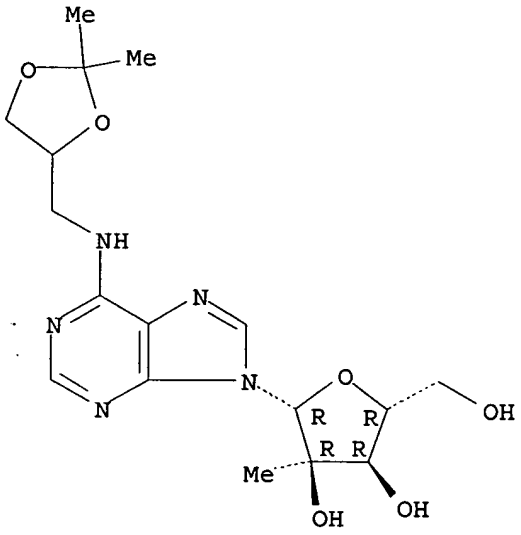
aryl, substituted aryl, acyl, substituted acyl, S(O)2-alkyl, NO, NH2, or OH; and R6 is H, NH2, halogen, N3, NHR1, NHCOR1 NR1R2, NHSO2R1, NHCONHR1, NHCSNHR1, CH2NHR1, CHR1NHR2, NHNH2, CN, alkyl, alkenyl, alkynyl, CH2-aryl, CH2-heterocycle, halogen, OH, or SH; are prepared by conventional and combinatorial library approaches. Contemplated compds. are particularly useful as therapeutic agents, and especially as antiviral agents. Thus, N6-[3-(methylthio)phenyl]-9H-(2'-β-C-methyl-β-D-ribofuranosyl)adenine was prepared and tested in vitro as antiviral agent against influenza virus A, bovine viral diarrhea virus, Hepatitis B virus, HIV-1 virus and human Rhinovirus.

IT 565435-07-6P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of 2'-β-modified-6-substituted adenosine analogs and their use as antiviral agents)

RN 565435-07-6 CAPLUS

CN Adenosine, N-[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

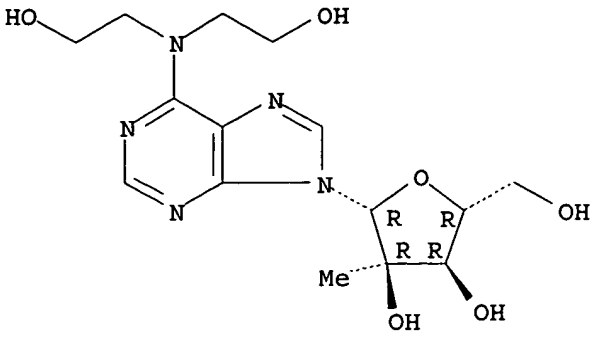


IT 565435-09-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of 2'-β-modified-6-substituted adenosine analogs and their use as antiviral agents)

RN 565435-09-8 CAPLUS

CN Adenosine, N,N-bis(2-hydroxyethyl)-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Oct 2002
ACCESSION NUMBER: 2002:799278 CAPLUS
DOCUMENT NUMBER: 138:21277
TITLE: Synthesis of Nucleotide Analogues That Potently and Selectively Inhibit Human DNA Primase
AUTHOR(S): Moore, Chad L.; Chiaramonte, Molly; Higgins, Tamara; Kuchta, Robert D.
CORPORATE SOURCE: Department of Chemistry and Biochemistry, University of Colorado, Boulder, CO, 80309, USA
SOURCE: Biochemistry (2002), 41(47), 14066-14075
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:21277

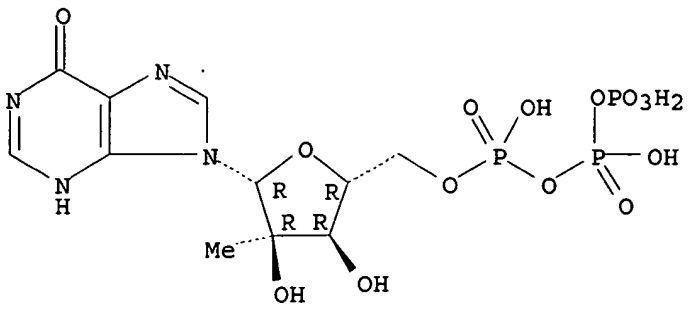
AB DNA primase synthesizes short RNA oligonucleotides that DNA polymerase α further elongates in order to initiate the synthesis of all new DNA strands during eukaryotic DNA replication. To develop potent and specific primase inhibitors, we combined 2'-modified sugars with bases incapable of normal Watson-Crick hydrogen bonding. The presence of a 2'-hydroxyl in either the ara or ribo configuration greatly enhances the ability of primase to polymerize a nucleotide. Further modifying the 2'-position by including both a hydroxyl and Me group at this position greatly reduced the ability of primase to polymerize the resulting nucleotides. Replacing the base of the NTP with analogs incapable of normal Watson-Crick hydrogen bonding (benzimidazole, nitrobenzimidazole, and dichlorobenzimidazole) resulted in compds. that inhibited primase quite well and with similar potency. We synthesized arabinofuranosylbenzimidazole triphosphate (araBTP) and found that this sugar change increased inhibition by 2-4-fold relative to the ribofuranosyl analog. AraBTP inhibited polymerization of both purines and pyrimidines, although primase polymerized only small amts. of the compound Interestingly, even though araBTP was not readily polymerized by primase, it inhibited primase almost as potently as araATP, a compound that primase polymerizes extremely rapidly and that results in very strong chain termination. Importantly, this compound was a very weak inhibitor of and only slowly polymerized by DNA polymerase α, indicating that it is a specific primase inhibitor. The potential utility and mechanistic implications of these inhibitors are discussed.

IT 478314-73-7P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of nucleotide analogs that potently and selectively inhibit human DNA primase but had minimal effect on DNA polymerase α activity)

RN 478314-73-7 CAPLUS

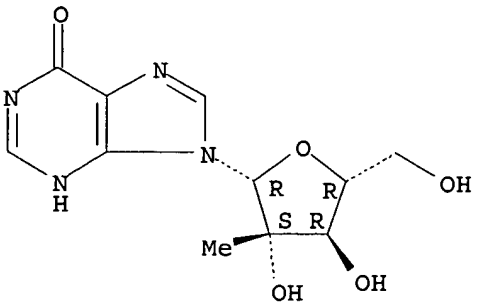
CN Inosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 495384-92-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of nucleotide analogs that potently and selectively inhibit human DNA primase but had minimal effect on DNA polymerase α activity)
RN 495384-92-4 CAPLUS
CN 6H-Purin-6-one, 1,9-dihydro-9-(2-C-methyl-β-D-arabinofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 26 Jul 2002
ACCESSION NUMBER: 2002:555629 CAPLUS
DOCUMENT NUMBER: 137:125359
TITLE: Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase
INVENTOR(S): Carroll, Steven S.; Lafemina, Robert L.; Hall, Dawn L.; Himmelberger, Amy L.; Kuo, Lawrence C.; Maccoss, Malcolm; Olsen, David B.; Rutkowski, Carrie A.; Tomassini, Joanne E.; An, Haoyun; Bhat, Balkrishen; Bhat, Neelima; Cook, Phillip Dan; Eldrup, Anne B.; Guinosso, Charles J.; Prhavc, Marija; Prakash, Thazha P.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 235 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057425	A2	20020725	WO 2002-US1531	20020118
WO 2002057425	A3	20050421		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2433878	AA	20020725	CA 2002-2433878	20020118
US 2002147160	A1	20021010	US 2002-52318	20020118
US 6777395	B2	20040817		
CN 1498221	A	20040519	CN 2002-806977	20020118
JP 2004532184	T2	20041021	JP 2002-558479	20020118
EP 1539188	A2	20050615	EP 2002-709095	20020118
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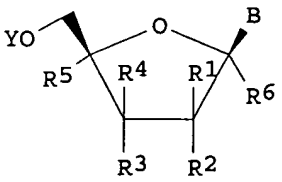
US 2004072788	A1	20040415	US 2003-431657	20030507
ZA 2003005078	A	20040521	ZA 2003-5078	20030630
US 2004067901	A1	20040408	US 2003-688691	20031017
US 2004110717	A1	20040610	US 2004-250873	20040116
US 7105499	B2	20060912		
US 2005272676	A1	20051208	US 2005-200499	20050809
US 2006205686	A1	20060914	US 2005-236224	20050927

PRIORITY APPLN. INFO.:	US 2001-263313P	P	20010122
	US 2001-282069P	P	20010406
	US 2001-299320P	P	20010619
	US 2001-344528P	P	20011025
	US 2002-52318	A3	20020118
	WO 2002-US1531	W	20020118
	US 2003-431657	B1	20030507
	US 2003-688691	A1	20031017

OTHER SOURCE(S): MARPAT 137:125359
GI



I

AB The present invention provides the preparation of nucleoside compds. I, wherein B is nucleobase, Y is H, alkylcarbonyl, phosphate; R1 is H, alkenyl, alkynyl, alkyl; R2 and R3 are independently H, OH, halogen, alkyl, alkoxy, alkenyloxy, alkylthio, alkylcarbonyloxy, aryloxycrbonyl, azido, amino, alkylamino; R1 and R2 together with the carbon atom to which they are attached form a 3- to 6-membered heterocycle; R4 is H, OH, SH, NH2, alkylamino, cycloalkylamino, halogen, alkyl, alkoxy, CF3; R5 and R6 are independently H, hydroxymethyl, Me, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are

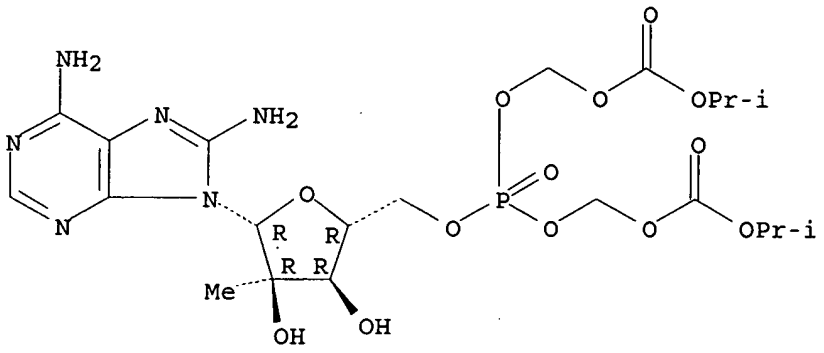
particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 4-amino-1-(2-C-methyl-β-D-ribofuranosyl)-1H-pyrazolo[3,4-d]pyrimidine was prepared as inhibitors of RNA-dependent RNA viral polymerase. Representative compds. tested in the HCV NS5B polymerase assay exhibited IC's less than 100 μM. The compds. of the present invention were also evaluated for their ability to affect the replication of Hepatitis C Virus RNA in cultured hepatoma (HuH-7) cells containing a sub-genomic HCV Replicon.

IT 444020-88-6P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside derivs. as inhibitors of RNA-dependent human RNA viral polymerase)

RN 444020-88-6 CAPLUS

CN 5'-Adenylic acid, 8-amino-2'-C-methyl-, bis[[[(1-methylethoxy)carbonyl]oxy]methyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 19 Feb 2002
ACCESSION NUMBER: 2002:127033 CAPLUS
DOCUMENT NUMBER: 136:386341
TITLE: 2'-Ethynyl-DNA: synthesis and pairing properties
AUTHOR(S): Buff, Rolf; Hunziker, Jurg
CORPORATE SOURCE: Department of Chemistry and Biochemistry, University of Bern, Bern, CH-3012, Switz.
SOURCE: Helvetica Chimica Acta (2002), 85(1), 224-254
CODEN: HCACAV; ISSN: 0018-019X
PUBLISHER: Verlag Helvetica Chimica Acta
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:386341

AB 2-Ethynyl-DNA was developed as a potential DNA-selective oligonucleotide analog. The synthesis of 2'-arabino-ethynyl-modified nucleosides was achieved starting from properly protected 2'-ketonucleosides by addition of lithium (trimethylsilyl)acetylide followed by reduction of the tertiary alc. After a series of protecting-group manipulations, phosphoramidite building blocks suitable for solid-phase synthesis were obtained. The synthesis of oligonucleotides from these building blocks was successful when a fast

deprotection scheme was used. The pairing properties of 2'-arabino-ethynyl-modified oligonucleotides can be summarized as follows: The 2'-arabino-ethynyl modification of pyrimidine nucleosides leads to a strong destabilization in duplexes with DNA as well as with RNA. The likely reason is that the ethynyl group sterically influences the torsional preferences around the glycosidic bond leading to a conformation not suitable for duplex formation. If the modification is introduced in purine nucleosides, no such influence is observed The pairing properties are not or only slightly changed, and, in some cases (deoxyadenosine homo-polymers), the desired stabilization of the pairing with a DNA complementary strand and destabilization with an RNA complement is observed In oligonucleotides of alternating deoxycytidine-deoxyguanosine sequence, the incorporation of 2'-arabinoethynyl deoxyguanosine surprisingly leads to the formation of a left-handed double helix, irresp. of salt concentration The rationalization for this behavior is that the ethynyl group locks such duplexes in a left-handed conformation through steric blockade.

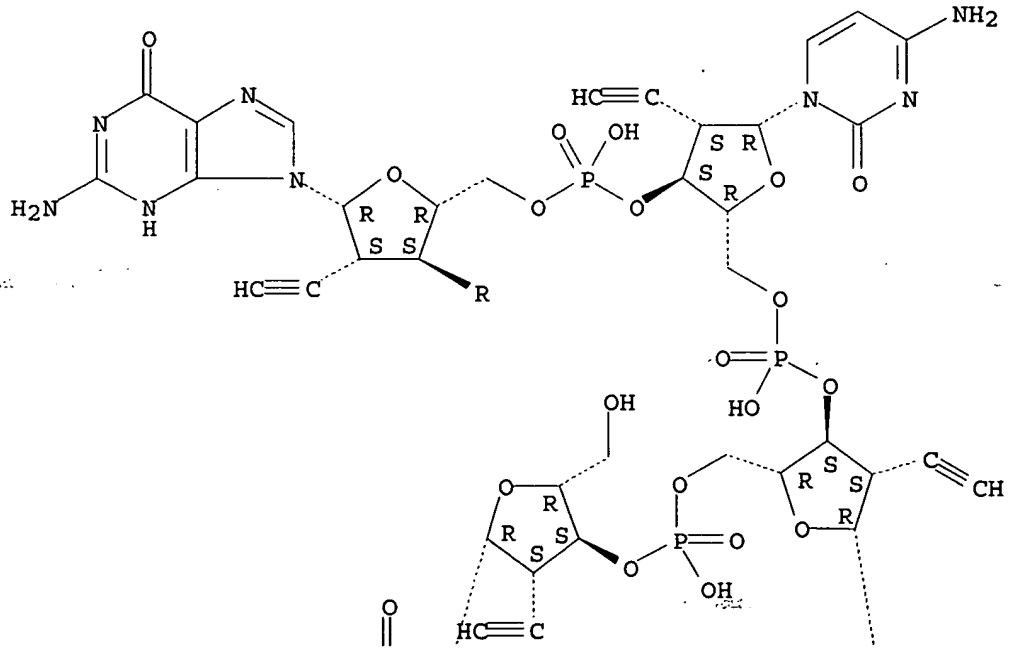
IT 424822-78-6P
RL: PUR (Purification or recovery); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2'-Ethynyl-DNA to be used in the synthesis and pairing properties of DNA and RNA duplexes)

RN 424822-78-6 CAPLUS

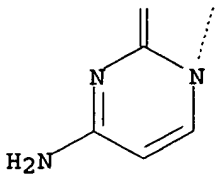
CN β-D-arabino-Guanosine, 2'-deoxy-2'-ethynyl-β-D-arabino-cytidylyl-(3'→5')-2'-deoxy-2'-ethynyl-β-D-arabino-guanylyl-(3'→5')-2'-deoxy-2'-ethynyl-β-D-arabino-cytidylyl-(3'→5')-2'-deoxy-2'-ethynyl-β-D-arabino-guanylyl-(3'→5')-2'-deoxy-2'-ethynyl-β-D-arabino-cytidylyl-(3'→5')-2'-deoxy-2'-ethynyl- (9CI) (CA INDEX NAME)

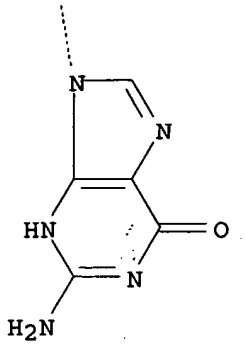
Absolute stereochemistry.

PAGE 1-A

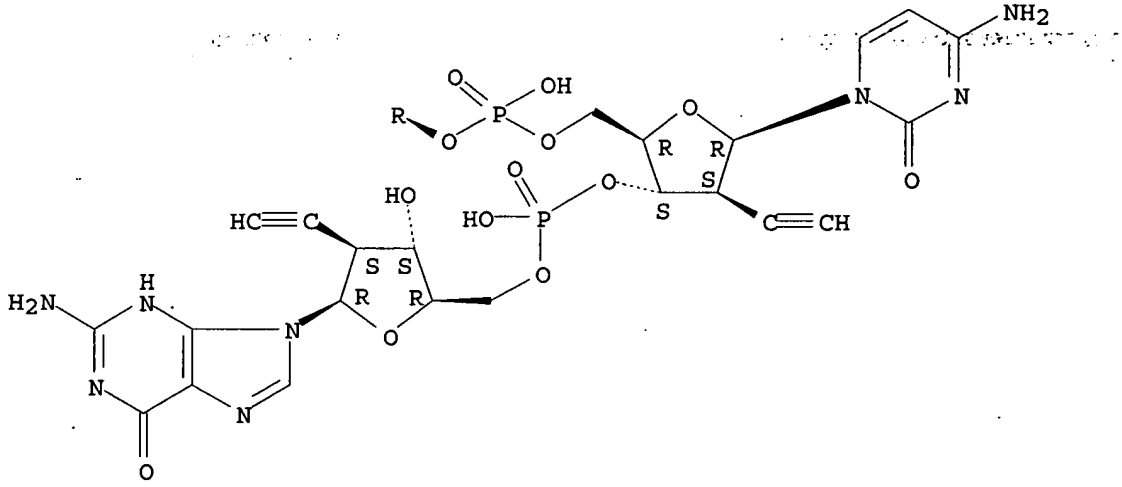


PAGE 2-A





PAGE 3-A



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 07 Dec 2001
ACCESSION NUMBER: 2001:886155 CAPLUS
DOCUMENT NUMBER: 136:590
TITLE: Methods and compositions using modified nucleosides for treating flaviviruses and pestiviruses
INVENTOR(S): Sommadossi, Jean-Pierre; Lacolla, Paolo
PATENT ASSIGNEE(S): Novirio Pharmaceuticals Limited, Cayman I.; Universita Degli Studi Di Cagliari
SOURCE: PCT Int. Appl., 302 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001092282	A2	20011206	WO 2001-US16687	20010523
WO 2001092282	A3	20020502		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2410579	AA	20011206	CA 2001-2410579		20010523
EP 1294735	A2	20030326	EP 2001-952131		20010523
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
US 2003060400	A1	20030327	US 2001-863816		20010523
US 6812219	B2	20041102			
BR 2001011196	A	20040406	BR 2001-11196		20010523
JP 2004510698	T2	20040408	JP 2002-500895		20010523
NO 2002005600	A	20030117	NO 2002-5600		20021121
ZA 2002010112	A	20040623	ZA 2002-10112		20021212
US 2004063622	A1	20040401	US 2003-602693		20030620
US 2004097462	A1	20040520	US 2003-602692		20030620
US 7101861	B2	20060905			
US 2004102414	A1	20040527	US 2003-602694		20030620
US 7105493	B2	20060912			
US 2006166865	A1	20060727	US 2003-602135		20030620
PRIORITY APPLN. INFO.:			US 2000-207674P	P	20000526
			US 2001-283276P	P	20010411
			US 2001-863816	A3	20010523
			WO 2001-US16687	W	20010523

OTHER SOURCE(S): MARPAT 136:590

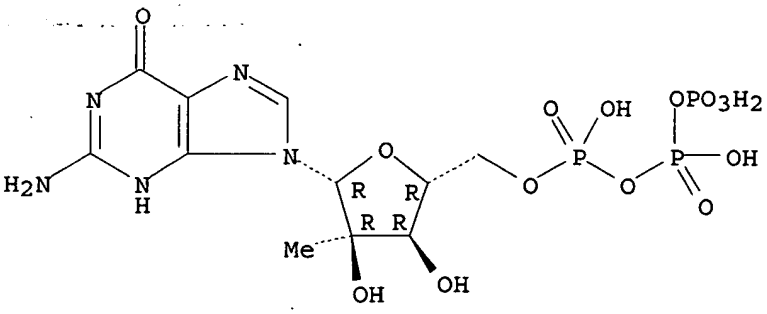
AB A method and composition are provided for treating a host infected with flavivirus or pestivirus, comprising administering an effective amount of a 1', 2' or 3'-modified nucleoside or a pharmaceutically acceptable salt or prodrug thereof.

IT 374750-29-5
RL: BSU (Biological study, unclassified); PKT (Pharmacokinetics); BIOL (Biological study)
(nucleoside derivs. for treating flaviviruses and pestiviruses)

RN 374750-29-5 CAPLUS

CN Guanosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 30 Nov 2001
ACCESSION NUMBER: 2001:868467 CAPLUS
DOCUMENT NUMBER: 136:6296
TITLE: Preparation of antiviral nucleosides and methods for treating hepatitis C virus
INVENTOR(S): Sommadossi, Jean-Pierre; Lacolla, Paulo
PATENT ASSIGNEE(S): Novirio Pharmaceuticals Limited, Cayman I.; Universita

degli Studi di Cagliari

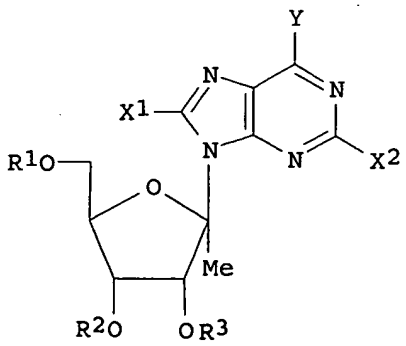
SOURCE: PCT Int. Appl., 296 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001090121	A2	20011129	WO 2001-US16671	20010523
WO 2001090121	A3	20020502		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2409613	AA	20011129	CA 2001-2409613	20010523
AU 2001074906	A5	20011203	AU 2001-74906	20010523
US 2003050229	A1	20030313	US 2001-864078	20010523
US 6914054	B2	20050705		
EP 1292603	A2	20030319	EP 2001-941564	20010523
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011127	A	20030624	BR 2001-11127	20010523
JP 2004533401	T2	20041104	JP 2001-586308	20010523
NZ 522863	A	20050729	NZ 2001-522863	20010523
EP 1669364	A2	20060614	EP 2006-75216	20010523
EP 1669364	A3	20060913		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY, TR				
NO 2002005627	A	20030106	NO 2002-5627	20021122
ZA 2002010101	A	20040614	ZA 2002-10101	20021212
US 2004097461	A1	20040520	US 2003-602691	20030620
US 2004101535	A1	20040527	US 2003-602976	20030620
US 2005124532	A1	20050609	US 2003-602142	20030620
US 2005137161	A1	20050623	US 2003-602136	20030620
AU 2006203121	A1	20060810	AU 2006-203121	20060721
AU 2006203122	A1	20060810	AU 2006-203122	20060721

PRIORITY APPLN. INFO.:	US 2000-206585P	P	20000523
	AU 2001-74906	A3	20010523
	EP 2001-941564	A3	20010523
	US 2001-864078	A1	20010523
	WO 2001-US16671	W	20010523

OTHER SOURCE(S): MARPAT 136:6296
GI



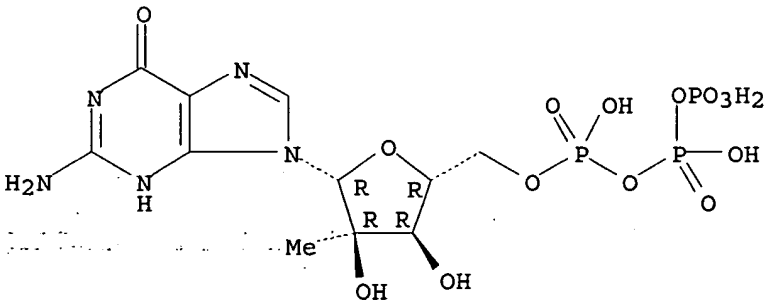
I

AB A method and composition for treating a host infected with hepatitis C comprising administering an effective hepatitis C treatment amount of a described 1'-, 2'- or 3'-modified nucleosides I, wherein : R1-R3 and R are independently H, phosphate (including mono, di- or triphosphate and a stabilized phosphate prodrug); acyl; alkyl; sulfonate ester including alkyl or arylalkyl sulfonyl including methanesulfonyl and benzyl, wherein the Ph group is optionally substituted with one or more substituents as described in the definition of aryl given herein; a lipid, including a phospholipid; an amino acid; a carbohydrate; a peptide; a cholesterol; or other pharmaceutically acceptable leaving group which when administered in vivo is capable of providing a compound wherein R1-R3 are independently H or phosphate; Y is hydrogen, bromo, chloro, fluoro, iodo, OR4, NR4R5 or SR4; X1 and X2 are independently selected from the group consisting of H, straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, chloro, bromo, fluoro, iodo, OR4, NR4R5 or SR4; and R4 and R5 are independently hydrogen, acyl, alkyl.or a pharmaceutically acceptable salt or prodrug thereof, is provided. Thus, I (R1-R3 = X1 = X2 = H, Y = NH2) was prepared and tested in Cynomolgus monkeys as antiviral agent. Oral bioavailability in monkeys, bone human bone marrow toxicity (IC50 > 10 μM), and mitochondrial toxicity, were reported .

IT 374750-29-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antiviral nucleosides and methods for treating hepatitis C virus)

RN 374750-29-5 CAPLUS
CN Guanosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 06 Apr 2001
ACCESSION NUMBER: 2001:247542 CAPLUS
DOCUMENT NUMBER: 134:292059
TITLE: Human RNase H and oligonucleotide compositions as substrates and for antisense therapy
INVENTOR(S): Crooke, Stanley T.; Lima, Walter F.; Wu, Hongjiang; Manoharan, Muthiah
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023613	A1	20010405	WO 2000-US26729	20000929
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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US 6617442	B1	20030909	US 1999-409926	19990930
EP 1222309	A1	20020717	EP 2000-965513	20000929
EP 1222309	B1	20051207		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
AT 312202	E	20051215	AT 2000-965513	20000929
US 2004102618	A1	20040527	US 2003-616009	20030708
PRIORITY APPLN. INFO.:			US 1999-409926 A1	19990930
			WO 2000-US26729 W	20000929

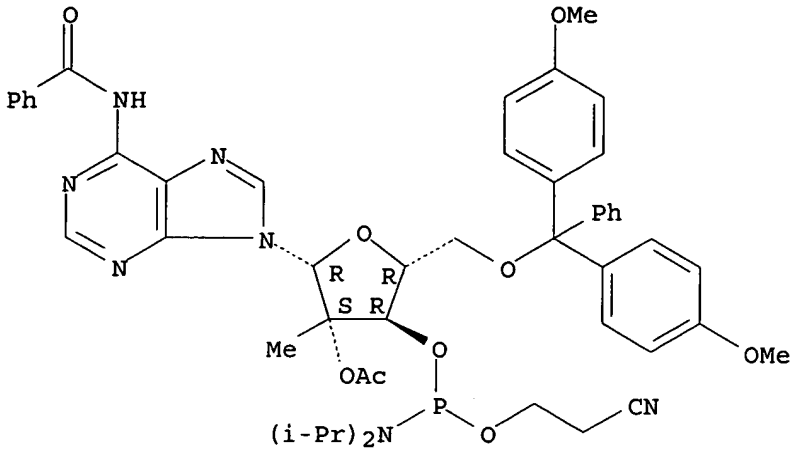
AB A human Type 2 RNase H has been cloned, expressed, and purified to electrophoretic homogeneity. The human RNase H is expressed ubiquitously in all tissues and cell lines tested except the MCR-5 line. The enzyme cleaves RNA in an oligonucleotide/RNA duplex, and the sites of cleavage in the full RNA/DNA substrate and in gapmer/RNA duplexes (in which the oligonucleotide gapmer has a 5-deoxynucleotide gap) were determined The present invention provides oligonucleotides that can serve as substrates for human Type 2 RNase H and Escherichia coli RNase H1. These oligonucleotides are mixed sequence oligonucleotides comprising at least two portions, wherein a first portion is capable of supporting human RNase H1 cleavage of a complementary target RNA and a further portions which is not capable of supporting such cleavage. To better characterize the substrate specificity of human RNase H, duplexes in which the antisense oligonucleotide is modified in the 2'-position were synthesized. The present invention is also directed to methods of using these oligonucleotides in enhancing antisense oligonucleotide therapies. Oligonucleotides can be screened to identify those which are effective antisense agents by contacting human RNase H with an oligonucleotide and measuring binding of the oligonucleotide to the enzyme. Antisense oligonucleotides are identified specific for the cleavage and inhibition of expression of ICAM-1, Ha-ras, c-raf, and 5-lipoxygenase messages.

IT 333336-27-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(human RNase H and oligonucleotide compns. as substrates and for antisense therapy)

RN 333336-27-9 CAPLUS

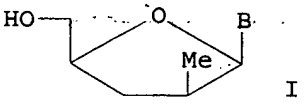
CN Benzamide, N-[9-[2-O-acetyl-5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2-C-methyl-β-D-arabinofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 16 Apr 1994
ACCESSION NUMBER: 1994:192164 CAPLUS
DOCUMENT NUMBER: 120:192164
TITLE: Nucleosides and nucleotides. 120. Stereoselective radical deoxygenation of tert-alcohols in the sugar moiety of nucleosides: synthesis of 2',3'-dideoxy-2'-C-methyl- and -2'-C-ethynyl-β-D-threo-pentofuranosyl pyrimidines and adenine as potential antiviral and antitumor agents
AUTHOR(S): Kakefuda, Akio; Yoshimura, Yuichi; Sasaki, Takuma; Matsuda, Akira
CORPORATE SOURCE: Fac. Pharm. Sci., Hokkaido Univ., Sapporo, 060, Japan
SOURCE: Tetrahedron (1993), 49(38), 8513-28
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:192164
GI

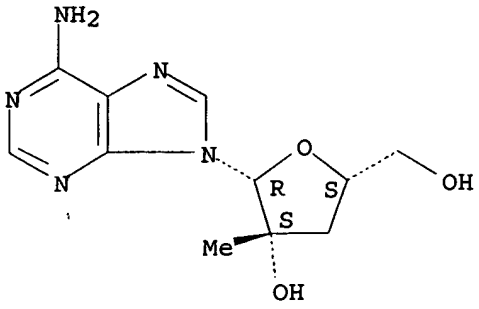


I

AB Radical deoxygenation of 2'-O-methoxalyl ester of the corresponding 3'-deoxy-2'-C-methyl-β-D-threso-pentofuranosyl-pyrimidines and -adenine, which were readily obtd. from the reaction of 1-(3-deoxy-β-D-erythro-pentofuran-2-ulosyl)pyrimidines and adenine derivs. with MeMgBr, gave stereospecifically after deprotection the corresponding nucleosides, e.g. I (B = uracil, thymine, cytosine, adenine). Cytotoxicity, antitumor and anti-HIV activities of these nucleosides in vitro were described.

IT 109923-62-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 109923-62-8 CAPLUS
CN 9H-Purin-6-amine, 9-(3-deoxy-2-C-methyl-β-D-threo-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 17 Feb 1989
ACCESSION NUMBER: 1989:57989 CAPLUS
DOCUMENT NUMBER: 110:57989
TITLE: The synthesis of C-methyl branched-chain deoxy sugar nucleosides by the deoxygenative methylation of O-tosylated adenosines with Grignard reagents
AUTHOR(S): Kawana, Masajiro; Takeuchi, Kikuko; Ohba, Takayo; Kuzuhara, Hiroyoshi
CORPORATE SOURCE: Inst. Phys. Chem. Res., RIKEN, Wako, 351-01, Japan
SOURCE: Bulletin of the Chemical Society of Japan (1988), 61(7), 2437-42
CODEN: BCSJA8; ISSN: 0009-2673
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:57989
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

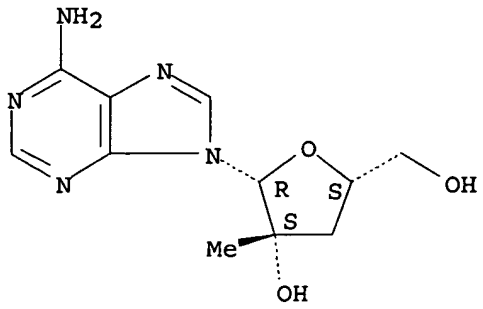
AB Title 3'-C-Me nucleoside I was prepared from 2'-O-tosyladenosines II [Ts = tosyl; R1 = H, 4,4'-dimethoxytrityl (DMTr), R2 = DMTr; R1 = trityl, R2 = H] by treatment with MeMgBr or MeMgI, followed by deblocking. 3'-O-Tosyladenosines III (R1 = H, DMTr; R2 = DMTr) were treated with MeMgBr or MeMgI and then deblocked to give epimeric mixts. of 2'-C-Me nucleosides IV and V.

IT 109923-62-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and methanolysis of)

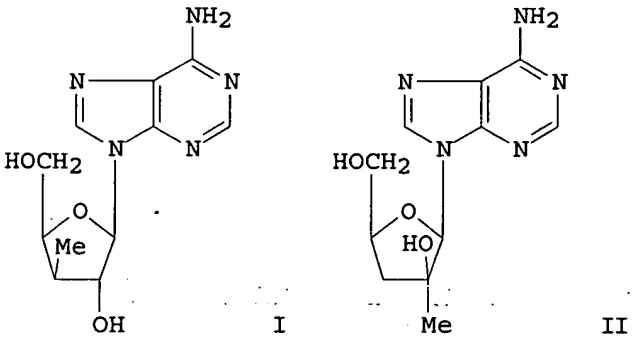
RN 109923-62-8 CAPLUS

CN 9H-Purin-6-amine, 9-(3-deoxy-2-C-methyl-β-D-threo-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



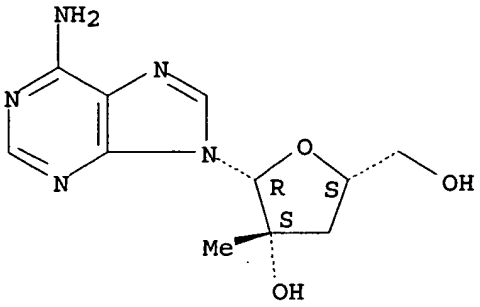
L5 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 11 Jun 1988
ACCESSION NUMBER: 1988:204976 CAPLUS
DOCUMENT NUMBER: 108:204976
TITLE: Conformational studies of 3'-C-methyl and 2'-C-methyl analogs of cordycepin
AUTHOR(S): Koole, L. H.; Buck, H. M.; Bazin, H.; Chattopadhyaya, J.
CORPORATE SOURCE: Dep. Org. Chem., Eindhoven Univ. Technol., Eindhoven, 5600 MB, Neth.
SOURCE: Tetrahedron (1987), 43(13), 2989-97
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:204976
GI



I II

AB A high resolution 1H NMR conformational anal. study of a 3'-C-Me (I) and a 2'-C-Me (II) analog of cordycepin, a naturally occurring antibiotic, was performed. For I the Me group on C-3', leads to an entirely different mol. conformation, which is determined primarily by a strong intramol. hydrogen bond between O-5' and N-3 of the syn-oriented adenine base. This particular conformation results in very unusual broadening of the H-5'' resonances in the case of CDCl3 as solvent. The synthesis of II via a regiospecific Grignard-type reaction is described. Conformational anal. of II revealed that the Me group on C-2' shifts the conformational equilibrium of the furanose ring towards south form.
IT 109923-62-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and NMR conformational anal. of)
RN 109923-62-8 CAPLUS
CN 9H-Purin-6-amine, 9-(3-deoxy-2-C-methyl-β-D-threo-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

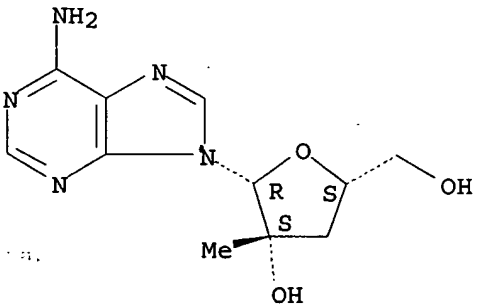


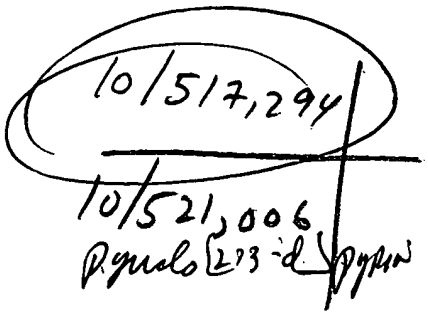
L5 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 19 Sep 1987
ACCESSION NUMBER: 1987:497040 CAPLUS
DOCUMENT NUMBER: 107:97040
TITLE: The deoxygenations of tosylated adenosine derivatives with Grignard reagents
AUTHOR(S): Kawana, Masajiro; Takeuchi, Kikuko; Ohba, Takayo; Kuzuhara, Hiroyoshi
CORPORATE SOURCE: Riken, Saitama, 351-01, Japan
SOURCE: Nucleic Acids Symposium Series (1986), 17(Symp. Nucleic Acids Chem., 14th, 1986), 37-40
CODEN: NACSD8; ISSN: 0261-3166
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:97040

AB The reactions of 2'-O- or 3'-O-tosylated adenosines with Grignard reagents resulted in the formation of various products, which were deoxy or branched-chain deoxy sugar nucleosides, 1',2'-unsatd. nucleosides, 3'-deoxy-2'-keto sugar nucleosides, and so on. The convenient method for the synthesis of the 3'-deoxy-2'-keto adenine nucleoside is described.

IT 109923-62-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 109923-62-8 CAPLUS
CN 9H-Purin-6-amine, 9-(3-deoxy-2-C-methyl-β-D-threo-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





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NEWS 4	MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS 5	MAY 11	KOREAPAT updates resume
NEWS 6	MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS 7	MAY 30	IPC 8 Rolled-up Core codes added to CA/CAplus and USPATFULL/USPAT2
NEWS 8	MAY 30	The F-Term thesaurus is now available in CA/CAplus
NEWS 9	JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS 10	JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and and display fields
NEWS 11	JUN 28	Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 12	JUl 11	CHEMSAFE reloaded and enhanced
NEWS 13	JUl 14	FSTA enhanced with Japanese patents
NEWS 14	JUl 19	Coverage of Research Disclosure reinstated in DWPI
NEWS 15	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS 16	AUG 28	ADISCTI Reloaded and Enhanced
NEWS 17	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS 18	SEP 11	CA/CAplus enhanced with more pre-1907 records
NEWS 19	SEP 21	CA/CAplus fields enhanced with simultaneous left and right truncation

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FILE 'HOME' ENTERED AT 11:40:01 ON 25 SEP 2006

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DICTIONARY FILE UPDATES:  24 SEP 2006  HIGHEST RN 908332-13-8

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=>
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L1      STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

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FULL SCREEN SEARCH COMPLETED -        1 TO ITERATE

100.0% PROCESSED        1 ITERATIONS                              0 ANSWERS
SEARCH TIME: 00.00.01

L2            0 SEA EXA FUL L1

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                                                   ENTRY    SESSION
FULL ESTIMATED COST                                57.42      57.63

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L2 0 S L1 EXACT FULL

FILE 'CAPLUS' ENTERED AT 11:41:54 ON 25 SEP 2006

=> s l2
L3 0 L2

=> s l1
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SAMPLE SCREEN SEARCH COMPLETED - 126 TO ITERATE

100.0% PROCESSED 126 ITERATIONS 26 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1847 TO 3193
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L5 23 L4

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L5 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 01 Sep 2006
ACCESSION NUMBER: 2006:894501 CAPLUS
DOCUMENT NUMBER: 145:272001

TITLE: Preparation of tricyclic nucleoside prodrugs for treating viral infections

INVENTOR(S): Keicher, Jesse Daniel; Roberts, Christopher Don

PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 63pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006194749	A1	20060831	US 2006-365170	20060228
WO 2006093986	A1	20060908	WO 2006-US7131	20060228
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PRIORITY APPLN. INFO.: US 2005-657463P P 20050228

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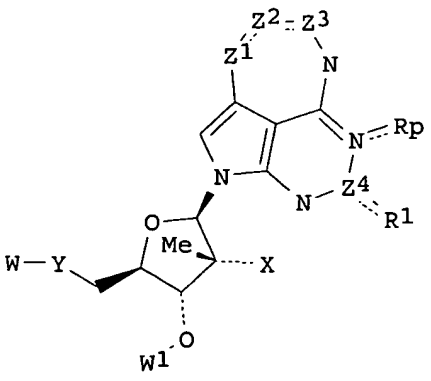

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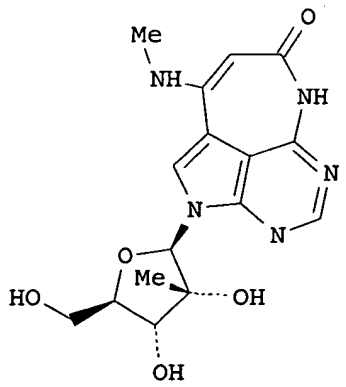


II

AB Tricyclic nucleoside prodrugs I, wherein the delocalized bond may be single or double bond; the bond between N and Rp is a single bond or no bond; p is 0 or 1; R is H, alkyl, cycloalkyl; R1 is H, alkyl, alkyl,

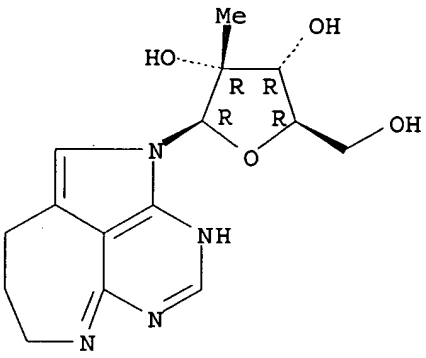
alkoxy, thiol, alkylthio-ether, =O, =S; Z1-Z3 are independently CH, CH2, substituted C or CH, N; Z4 is C, N; Y is bond, CH2, O; X is OH, O-alkyl; W and W1 are independently H, alkyl; were prepared for treating viral infections caused by a Flaviviridae family virus, such as hepatitis C virus. Tablet, capsule, suppository, injectable, and suspension formulations are reported. Thus, tricyclic nucleoside II was prepared and tested as antiviral agent against hepatitis C virus. Cloning and expression of recombinant HCV-NS5b was reported. Title nucleosides were used in pharmaceutical combination chemotherapy composition of one or more agents active against HCV consisting of Ribavirin, levovirin, viramidine, thymosinα1, an inhibitor of NS3 serine protease, and inhibitor of inosine monophosphate dehydrogenase, interferonα pegylated interferonα alone or in combination with viramidine, Ribavirin or levovirin..

IT 847551-17-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic nucleoside prodrugs for treating viral infections)

RN 847551-17-1 CAPLUS

CN 2H-2,3,5,6-Tetraazabenz[cd]azulene, 3,7,8,9-tetrahydro-2-(2-C-methyl-β-D-ribofuranosyl)-...(9CI) (CA INDEX NAME)

Absolute stereochemistry.

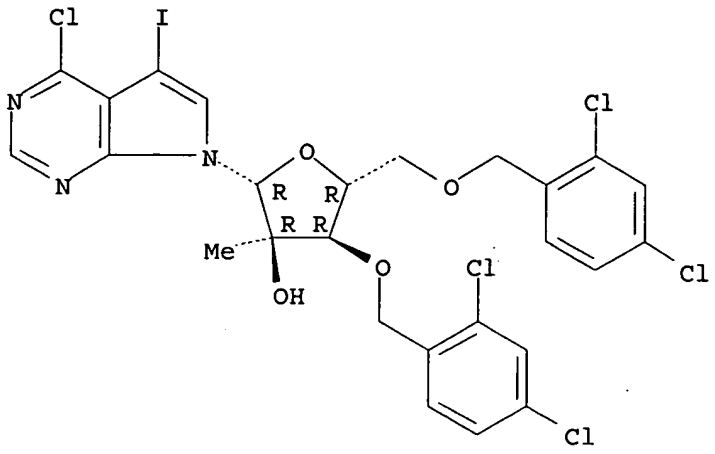


IT 847551-25-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic nucleoside prodrugs for treating viral infections)

RN 847551-25-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-C-methyl-β-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L5 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 26 May 2006
ACCESSION NUMBER: 2006:494221 CAPLUS
DOCUMENT NUMBER: 145:8396
TITLE: Preparation of nucleoside analogs for treating Hepatitis C and other Flaviviridae family viral infections
INVENTOR(S): Keicher, Jesse D.; Roberts, Christopher D.; Dyatkina, Natalia B.
PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 23 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2006111311	A1	20060525	US 2005-280984		20051115
PRIORITY APPLN. INFO.:			US 2004-630453P	P	20041122

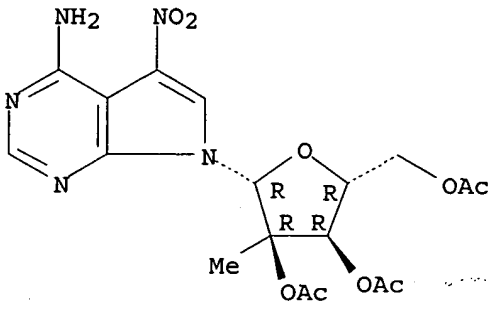
OTHER SOURCE(S): MARPAT 145:8396
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Nucleoside analogs I, wherein Y is a bond, -CH2-, or -O-; W-W2 are independently H, acyl, oxyacyl, phosphonate, phosphate esters, phosphonamidate, phosphorodiamidate, phosphoramidate monoester, cyclic phosphoramidate, cyclic phosphorodiamidate, phosphoramidate diester, and -C(O)CHR1NHR2, where R1 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and a side-chain of an amino acid; or R1 and R2 together with the carbon and nitrogen atoms bound thereto resp. form a heterocyclic ring compns. are prepared and useful in the treatment of viral infections caused by a Flaviviridae family virus, such as Hepatitis C virus. Thus, II was prepared and tested as an antiviral agent against Hepatitis C virus in an HCV-NS5b enzyme assay (IC50 = 2.6 μM).

IT 887748-00-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nucleoside analogs for treating Hepatitis C and other Flaviviridae family viral infections)
RN 887748-00-7 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 5-nitro-7-(2,3,5-tri-O-acetyl-2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 30 Mar 2006
ACCESSION NUMBER: 2006:296019 CAPLUS
DOCUMENT NUMBER: 144:312290
TITLE: Preparation of nucleoside derivatives as antiviral, antitumor, and antidiabetic prodrug agents
INVENTOR(S): Reddy, Raja K.; Erion, Mark D.
PATENT ASSIGNEE(S): Metabasis Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 255 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006033709	A2	20060330	WO 2005-US27235	20050729
WO 2006033709	A3	20060831		
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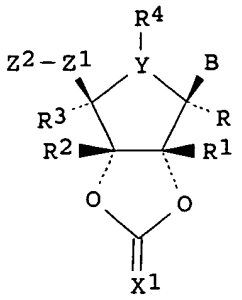
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2005182252	A1	20050818	US 2004-903215	20040729

PRIORITY APPLN. INFO.:		
US 2004-903215	A	20040729
US 2005-652527P	P	20050211
US 2004-544743P	P	20040213

OTHER SOURCE(S): MARPAT 144:312290
GI



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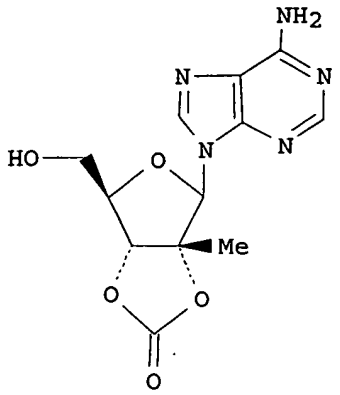


II

AB Nucleoside derivs. I, wherein X1 is O, S, SO, substituted nitrogen; B is heterocycle, nucleobase; Y is O, S, N, substituted C, CH2; R and R1 are independently H, alkyl, alkenyl, alkynyl; R2 is H, alky;, alkenyl, alkynyl, alkylamino, cycloalkyl-amino, halogen, alkoxy; R3 is H, halogen, alkyl, alkoxy, alkenyl-oxy, alkylthio, alkylcarbonyl-oxy, aryloxy-carbonyl, azido, amino, alkylamino; R4 is H, alkyl, alkenyl, alkynyl, OH, alkoxy, halogen, CN, were prepared and tested in vitro and in rats for the treatment of viral diseases including hepatitis C viral infection, cancer, diabetes, and other diseases. The activation of prodrug analogs to NMP was evaluated in the microsomal fraction of human liver. The HepDirect-carbonate prodrugs evaluated were activated to the corresponding NMP in human liver microsomes, indicating that the enzymes required for removal of both the HepDirect and the carbonate prodrug moieties are present in this reaction system. Thus, nucleoside II was prepared via coupling and hydrogen transfer reactions and tested in vitro and in rats as antiviral, antitumor, and antidiabetic prodrug agents. The oral bioavailability (OBAV) of the free nucleoside is very low (<5 %) whereas the OBAV of its carbonate prodrugs are >20 %. The compds. of the present invention may also be administered in combination with an agent that is an inhibitor of HCV NS3 serine protease.

IT 879493-30-8P 879493-53-5P 879493-54-6P
879494-08-3P 879494-10-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside derivs. via coupling and hydrogen transfer reactions as antiviral, antitumor, and antidiabetic prodrug agents)

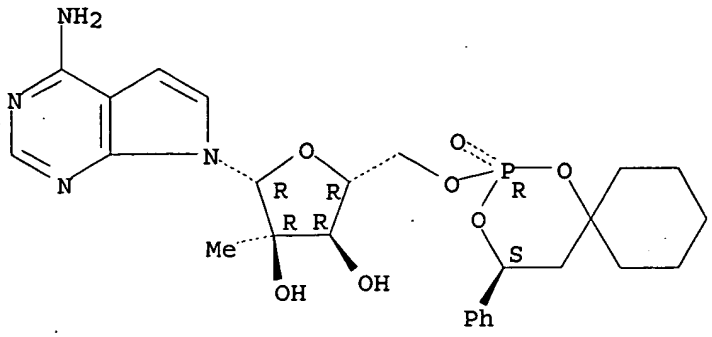
RN 879493-30-8 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[2-C-methyl-5-O-[(2R,4S)-2-oxido-4-phenyl-1,3-dioxa-2-phosphaspiro[5.5]undec-2-yl]-β-D-ribofuranosyl]-, trifluoroacetate (5:1) (salt) (9CI) (CA INDEX NAME)

CM 1

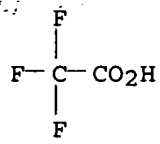
CRN 879493-29-5
CMF C26 H33 N4 O7 P

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

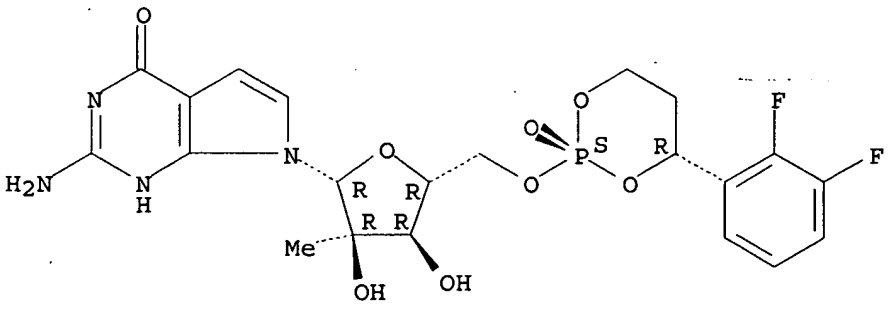


RN 879493-53-5 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-amino-7-[5-O-[(2S,4R)-4-(2,3-difluorophenyl)-2-oxido-1,3,2-dioxaphosphorinan-2-yl]-2-C-methyl-β-D-ribofuranosyl]-1,7-dihydro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

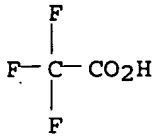
CRN 862189-18-2
CMF C21 H23 F2 N4 O8 P

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

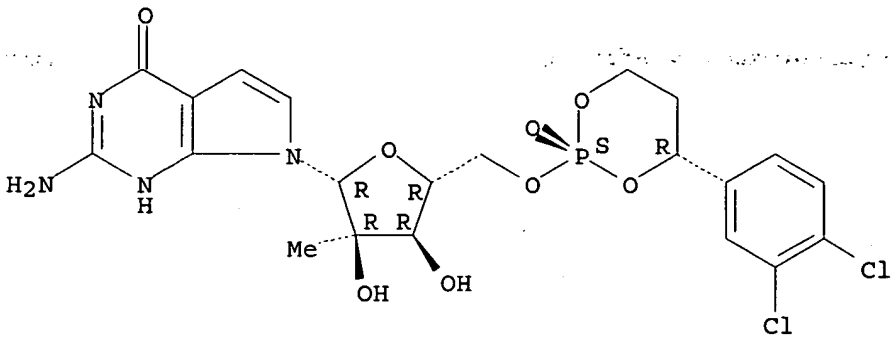


RN 879493-54-6 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-amino-7-[5-O-[(2S,4R)-4-(3,4-dichlorophenyl)-2-oxido-1,3,2-dioxaphosphorinan-2-yl]-2-C-methyl-β-D-ribofuranosyl]-1,7-dihydro-, trifluoroacetate (5:1) (9CI) (CA INDEX NAME)

CM 1

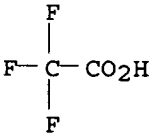
CRN 862189-20-6
CMF C21 H23 Cl2 N4 O8 P

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

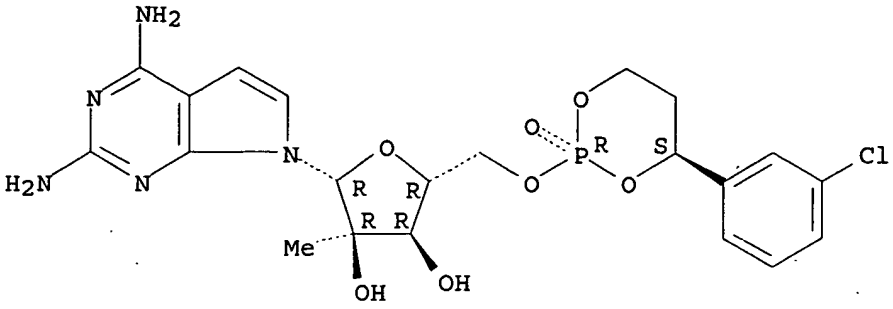


RN 879494-08-3 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine-2,4-diamine, 7-[5-O-[(2R,4S)-4-(3-chlorophenyl)-2-oxido-1,3,2-dioxaphosphorinan-2-yl]-2-C-methyl-β-D-ribofuranosyl]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

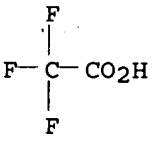
CRN 879494-07-2
CMF C21 H25 Cl N5 O7 P

Absolute stereochemistry.



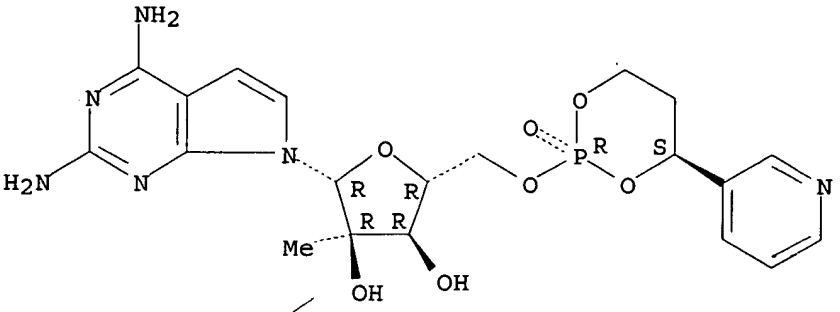
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 879494-10-7 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine-2,4-diamine, 7-[2-C-methyl-5-O-[(2R,4S)-2-oxido-4-(3-pyridinyl)-1,3,2-dioxaphosphorinan-2-yl]-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 03 Feb 2006
ACCESSION NUMBER: 2006:100316 CAPLUS
DOCUMENT NUMBER: 144:192451
TITLE: Preparation of nucleoside aryl phosphoramidates for use as an inhibitor of hepatitis C virus NS5B polymerase, RNA-dependent RNA polymerase, RNA viral replication and treating RNA-dependent RNA viral infections
INVENTOR(S): Maccoss, Malcolm; Olsen, David B.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006012078	A2	20060202	WO 2005-US21684	20050620
WO 2006012078	A3	20060601		

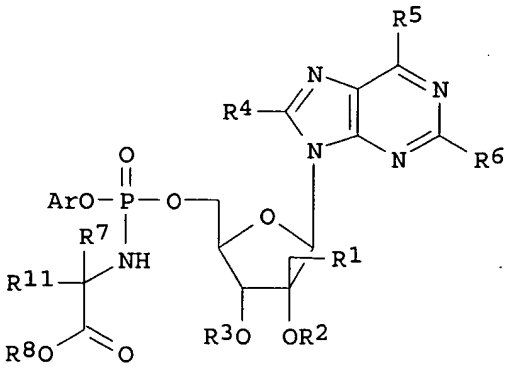
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-582667P P 20040624
US 2004-619746P P 20041018

OTHER SOURCE(S): MARPAT 144:192451

GI



I

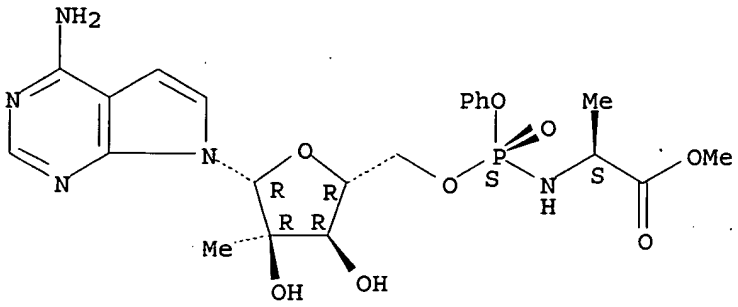
AB Nucleoside aryl phosphoramidates I, wherein Y is (un)substituted C or N; Ar is (un)substituted Ph; R1 is hydrogen, fluoro, azido, amino, hydroxy, C1-3 alkoxy, mercapto, and C1-3 alkylthio; R2 and R3 are each independently selected from the group consisting of hydrogen, Me, C1-16 alkylcarbonyl, C2-18 alkenylcarbonyl, C1-10 alkyloxycarbonyl, C3-6 cycloalkylcarbonyl, and C3-6 cycloalkyloxycarbonyl; R4 is hydrogen, halogen, Me, azido, or amino; R5 and R6 are each independently selected from the group consisting of hydrogen, hydroxy, halogen, C1-4 alkoxy, amino, C1-4 alkylamino, di(C1-4 alkyl)amino, C3-6 cycloalkylamino, di(C3-6 cycloalkyl)amino, benzylamino, dibenzylamino, or C4-6 heterocycloalkyl, wherein alkyl, cycloalkyl, benzyl, and heterocycloalkyl; R7 is hydrogen, C1-5 alkyl, (un)substituted Ph or benzyl; R8 is hydrogen, C1-6 alkyl, C3-6 cycloalkyl, (un)substituted Ph or benzyl; R9 is hydrogen or Me, were prepared as precursors to inhibitors of RNA-dependent RNA viral polymerase. Nucleoside aryl phosphoramidates, I, alone or in combination with other agents active against RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection. Thus, II was prepared (no yield) and tested as an inhibitor of hepatitis C virus (HCV) NS5B polymerase, as precursors to inhibitors of HCV replication, and/or for the treatment of hepatitis C infection (EC50 less than 100 μM).

IT 874883-62-2P 874883-68-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside aryl phosphoramidates for use as an inhibitors of hepatitis C virus NS5B polymerase, RNA-dependent RNA polymerase, RNA viral replication and treating RNA-dependent RNA viral infections)

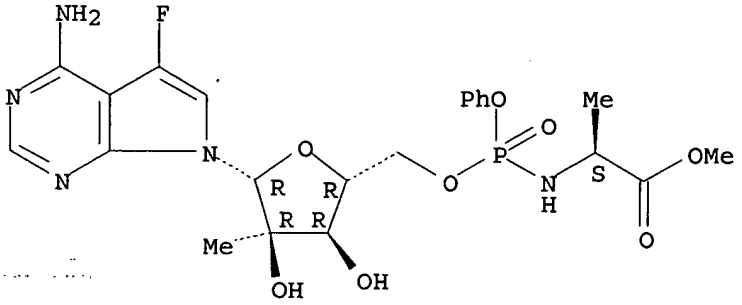
RN 874883-62-2 CAPLUS
CN L-Alanine, N-[(S)-[1-(4-amino-7H-pyrrolo[2,3-d]pyrimidin-7-yl)-1-deoxy-2-C-methyl-β-D-ribofuranos-5-O-yl]phenoxyphosphinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 874883-68-8 CAPLUS
CN L-Alanine, N-[[1-(4-amino-5-fluoro-7H-pyrrolo[2,3-d]pyrimidin-7-yl)-1-deoxy-2-C-methyl-β-D-ribofuranos-5-O-yl]phenoxyphosphinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

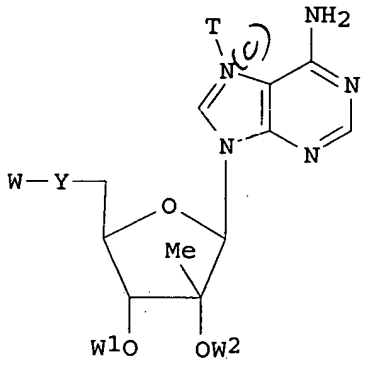


L5 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 30 Sep 2005
ACCESSION NUMBER: 2005:1050841 CAPLUS
DOCUMENT NUMBER: 143:326574
TITLE: Preparation of nucleosides as prodrugs and antiviral agents
INVENTOR(S): Roberts, Christopher D.; Keicher, Jesse D.; Dyatkina, Natalia B.
PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 58 pp., Cont.-in-part of U.S. Ser. No. 861,311.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005215511	A1	20050929	US 2004-971477		20041021
US 2005090463	A1	20050428	US 2004-861311		20040604
US 2005101550	A1	20050512	US 2004-861219		20040604
US 2006079468	A1	20060413	US 2004-861090		20040604
PRIORITY APPLN. INFO.:			US 2003-515153P	P	20031027
			US 2004-861090	A2	20040604
			US 2004-861219	A2	20040604
			US 2004-861311	A2	20040604
			US 2004-602815P	P	20040818

OTHER SOURCE(S): MARPAT 143:326574

GI



I

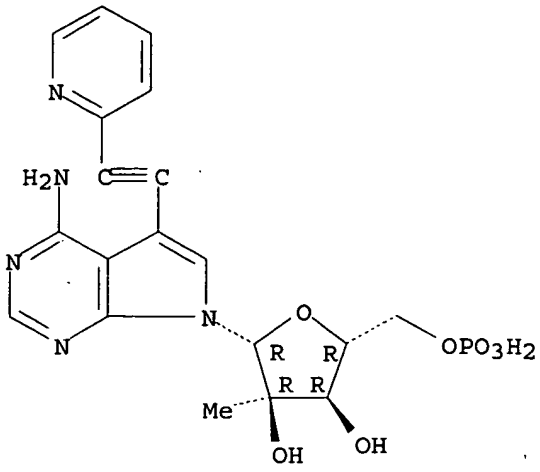
AB Nucleosides I, wherein Y is bond, CH2, O; W-W2 are independently H, pharmaceutically acceptable prodrug; T is substituted alkyne, substituted alkene, were prepared and used for treating viral infections caused by a Flaviviridae family virus, such as hepatitis C virus. Thus, 7-(2'-C-methyl-β-D-ribofuranosyl)-4-amino-5-(2'-trimethylsilylethyn-1-yl)-pyrrolo[2,3-d]pyrimidine was prepared and tested in vitro as antiviral agent against hepatitis C virus (replicon assay, % inhibition value range 35.8 - 98.2 μM).

IT 850338-32-8P 865481-58-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleosides as prodrugs and antiviral agents)

RN 850338-32-8 CAPLUS

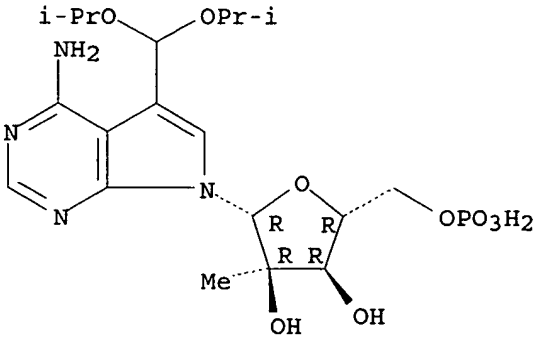
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-(2-C-methyl-5-O-phosphono-β-D-ribofuranosyl)-5-(2-pyridinylethynyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



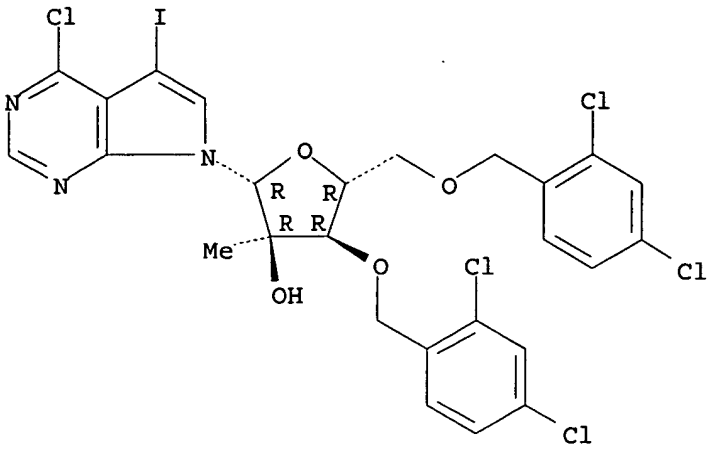
RN 865481-58-9 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 5-[bis(1-methylethoxy)methyl]-7-[2-C-methyl-5-O-phosphono-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 847551-25-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nucleosides as prodrugs and antiviral agents)
RN 847551-25-1 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-C-methyl-β-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

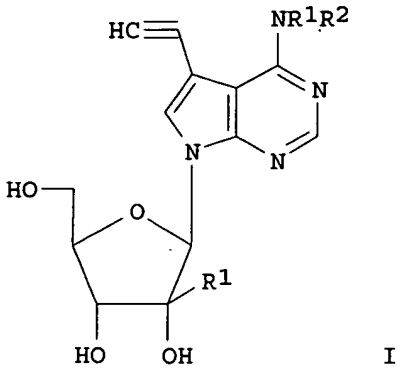
Absolute stereochemistry.



L5 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 30 Sep 2005
ACCESSION NUMBER: 2005:1050840 CAPLUS
DOCUMENT NUMBER: 143:326573
TITLE: Methods for preparing 7-(2'-substituted-β-D-ribofuranosyl)-4-(NR2R3)-5-(substituted ethyn-1-yl)-pyrrolo[2,3-d]pyrimidine derivatives as antiviral agents
INVENTOR(S): Roberts, Christopher D.; Keicher, Jesse D.; Dyatkina, Natalia B.
PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 28 pp., Cont.-in-part of U.S. Ser. No. 861,311.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005215510	A1	20050929	US 2004-970641		20041020
US 2005090463	A1	20050428	US 2004-861311		20040604
US 2006079468	A1	20060413	US 2004-861090		20040604
PRIORITY APPLN. INFO.:			US 2003-515153P	P	20031027
			US 2004-861090	A2	20040604
			US 2004-861311	A2	20040604
			US 2004-602815P	P	20040818

OTHER SOURCE(S): CASREACT 143:326573; MARPAT 143:326573
GI



I

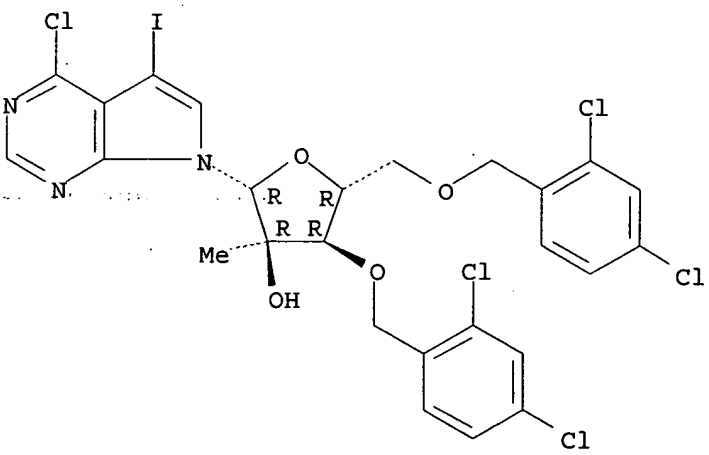
AB 7-(2'-Substituted-β-D-ribofuranosyl)-4-(NR2R3)-5-(substituted ethyn-1-yl)-pyrrolo[2,3-d]pyrimidine derivs. I, wherein R1 is alkyl, alkenyl, alkynyl; R2 and R3 are independently H, alkyl, amino, OH, alkoxy, formyl, acyl; NR2R3 form heterocyclic, were prepared as antiviral agents. These compds. are useful in treating viral infections caused by a flaviviridae family virus, such as hepatitis C virus (IC50 ranges from 0.09 to >50 μM). Thus, I (R1 = Me, R2 = R3 = H) was prepared and tested in vitro as antiviral agent (IC50 = 0.09 μM).

IT 847551-25-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(methods for preparing 7-(2'-substituted-β-D-ribofuranosyl)-4-(NR2R3)-5-(substituted ethyn-1-yl)-pyrrolo[2,3-d]pyrimidine derivs. as antiviral agents)

RN 847551-25-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-C-methyl-β-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 19 Aug 2005

ACCESSION NUMBER: 2005:824501 CAPLUS

DOCUMENT NUMBER: 143:212123

TITLE: Preparation of 2'-C-methyl nucleoside derivatives and their uses for the treatment of hepatitis C viral infection

INVENTOR(S): Reddy, K. Raja; Erion, Mark D.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 84 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005182252	A1	20050818	US 2004-903215	20040729
WO 2005084192	A2	20050915	WO 2005-US4447	20050214
WO 2005084192	A3	20060511		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

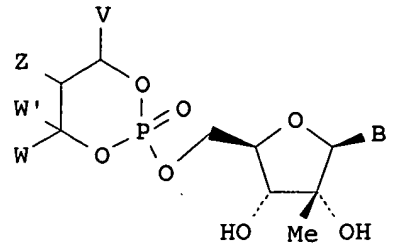
WO 2006033709	A2	20060330	WO 2005-US27235	20050729
WO 2006033709	A3	20060831		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:	US 2004-544743P	P	20040213
	US 2004-903215	A	20040729
	US 2005-652527P	P	20050211

OTHER SOURCE(S): MARPAT 143:212123
GI



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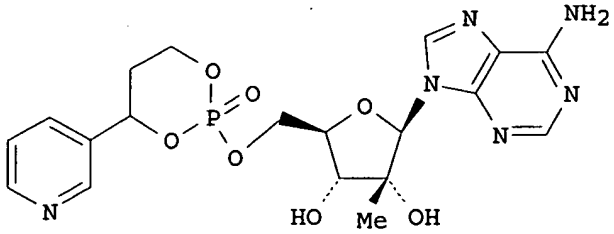


II

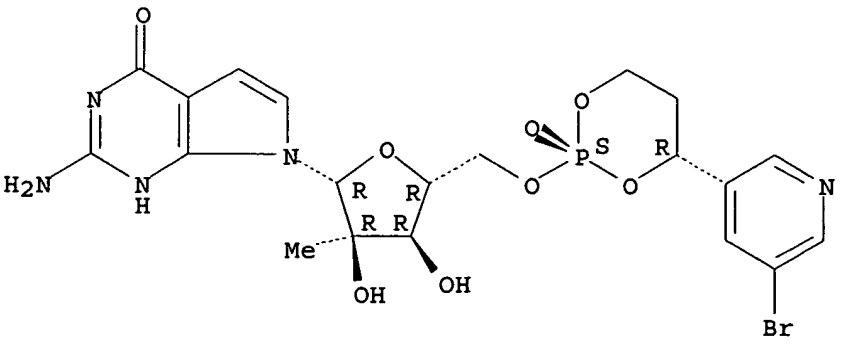
AB 2'-C-Me nucleosides I, wherein B is purine nucleobase; V is monocyclic aryl, monocyclic heteroaryl; W and W' are independently monocyclic aryl, monocyclic heteroaryl, H, alkyl, heterocycloalkyl, aralkyl; Z is CN, acyl, amide, carboxylate, sulfonyl, sulfonamide, OH, sulfide, alkyl, aryl, heterocycloalkyl, aralkyl, thio-ester; V and Z are connected via an addnl. 3-5 atoms to form a cyclic group optionally containing hero-atom; Z and W are connected via an addnl. 3-5 atoms to form a cyclic group optionally containing hero-atom; W and W' are connected via an addnl. 2-5 atoms to form a cyclic group optionally containing 0-2 hero-atoms, were prepared and used for the treatment of hepatitis C viral infection. Thus, nucleoside II was prepared and tested in mice as hepatitis C antiviral agent. The prodrug analogs are tested for activation in human liver microsomes and in rat liver microsomes activation (250 μM). Nucleoside analogs and their prodrugs were evaluated for their ability to generate NTPs in freshly isolated rat hepatocytes. It is generally accepted that the NTP (0.1-160 nmol/g) form of a nucleoside is the active antiviral agent.

IT 862189-24-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2'-C-Me nucleoside derivs. and their uses for the treatment of hepatitis C viral infection)

RN 862189-24-0 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-amino-7-[5-O-[(2S,4R)-4-(5-bromo-3-pyridinyl)-2-oxido-1,3,2-dioxaphosphorinan-2-yl]-2-C-methyl-β-D-ribofuranosyl]-1,7-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 03 Jun 2005
ACCESSION NUMBER: 2005:474924 CAPLUS
DOCUMENT NUMBER: 143:7941
TITLE: Preparation of nucleoside derivatives for treating Hepatitis C virus infection
INVENTOR(S): Roberts, Christopher D.; Keicher, Jesse; Dyatkina, Natalia B.
PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 25 pp., Cont.-in-part of U.S. Ser. No. 676,956.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

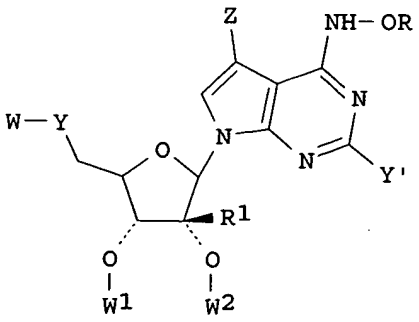
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005119200	A1	20050602	US 2004-821638	20040408
US 7094768	B2	20060822		
US 2004147464	A1	20040729	US 2003-676956	20030930
WO 2006075993	A2	20060720	WO 2005-US11348	20050401

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

US 2002-415222P	P	20020930
US 2003-443169P	P	20030129
US 2003-676956	A2	20030930
US 2004-821638	A	20040408

OTHER SOURCE(S): CASREACT 143:7941; MARPAT 143:7941
GI



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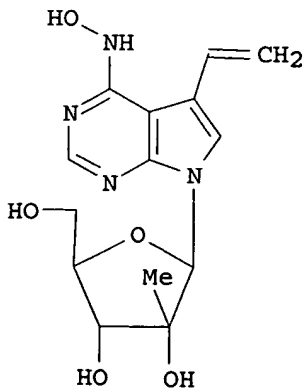


II

AB Disclosed are nucleosides I, wherein W-W2 are independently hydrogen and a pharmaceutically acceptable prodrug; R is hydrogen, alkyl; R1 is hydrogen,

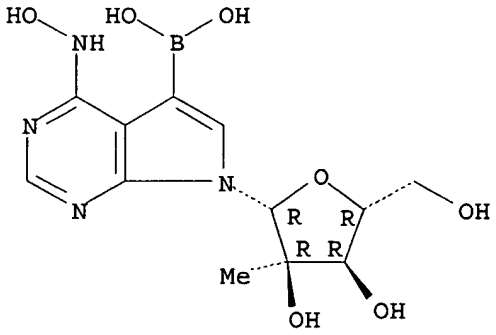
alkyl, alkenyl, alkenyl, alkynyl; Y is a bond, CH2, O ; Y' is hydrogen, halo, hydroxyl, thio-alkyl, amino; Z is acyl, cyano, carboxyl, carboxyl ester, amide, halo, B(OH)2, imine, nitro, alkenyl, acetylenyl and methods for treating viral infections caused by a Flaviviridae family virus, such as hepatitis C virus. Thus, nucleoside II was prepared and used for the treatment of Hepatitis C virus infection. In general, compds. of this invention will be administered as pharmaceutical compns. by any one of the following routes: oral, systemic (e.g., transdermal, intranasal or by suppository), or parenteral (e.g., i.m., i.v. or s.c.) administration. The preferred manner of administration is oral using a convenient daily dosage regimen that can be adjusted according to the degree of affliction. Compns. can take the form of tablets, pills, capsules, semi-solids, powders, sustained release formulations, solns., suspensions, elixirs, aerosols, or any other appropriate compns. Another preferred manner for administering compds. of this invention is inhalation. This is an effective method for delivering a therapeutic agent directly to the respiratory tract, in particular for the treatment of diseases such as asthma and similar or related respiratory tract disorders.

IT 852235-73-5P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside derivs. for treating Hepatitis C virus infection)

RN 852235-73-5 CAPLUS

CN Boronic acid, [4-(hydroxyamino)-7-(2-C-methyl-β-D-ribofuranosyl)-7H-pyrrolo[2,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

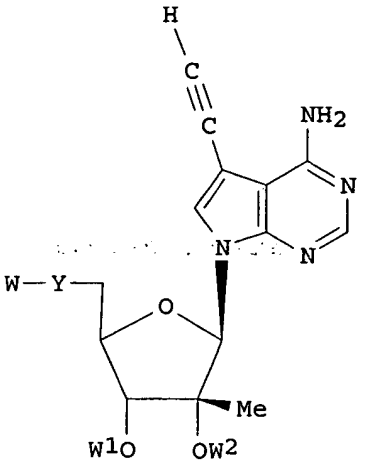
L5 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 20 May 2005
ACCESSION NUMBER: 2005:431387 CAPLUS
DOCUMENT NUMBER: 142:447384
TITLE: Preparation of amino acid-containing nucleosides for treating viral infections
INVENTOR(S): Keicher, Jesse D.; Roberts, Christopher D.; Dyatkina, Natalia B.
PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 28 pp., Cont.-in-part of U.S. Ser. No. 861,090.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 2005107312	A1	20050519	US 2004-970321	20041020
US 2006079468	A1	20060413	US 2004-861090	20040604
PRIORITY APPLN. INFO.:			US 2003-515153P P	20031027
			US 2004-861090 A2	20040604
			US 2004-602815P P	20040818

OTHER SOURCE(S): MARPAT 142:447384

GI



I

AB Disclosed are nucleosides I, wherein Y is bond, -CH2- -O-; W-W2 are independently H, and a pharmaceutically acceptable prodrug; compns. and methods for treating viral infections caused by a Flaviviridae family virus, such as Hepatitis C virus. Thus, I (Y = O, W-W2 = H) was prepared and tested as antiviral agent against Hepatitis C virus (IC50 vales range from 0.09 to > 20 μM).

IT 851387-67-2P

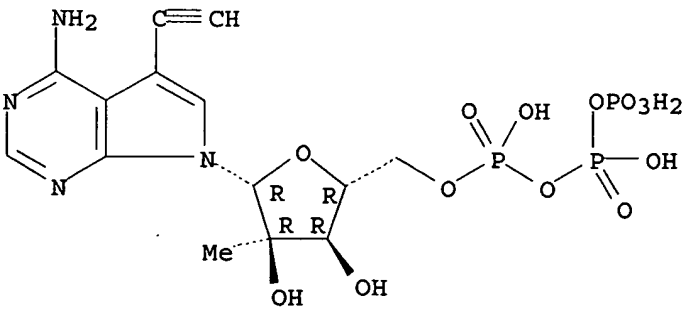
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid-containing nucleosides for treating viral infections)

RN 851387-67-2 CAPLUS

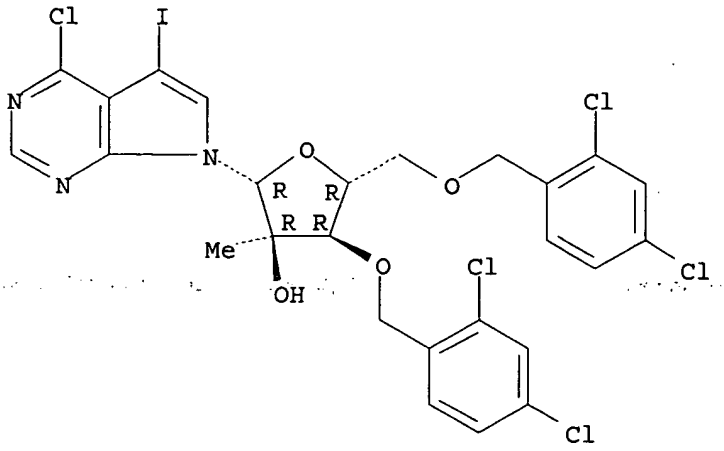
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 5-ethynyl-7-[5-O-[hydroxy[[hydroxy(phosphonooxy)phosphinyl]oxy]phosphinyl]-2-C-methyl-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 847551-25-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid-containing nucleosides for treating viral infections)
RN 847551-25-1 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-C-methyl-β-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 13 May 2005
ACCESSION NUMBER: 2005:409541 CAPLUS
DOCUMENT NUMBER: 142:463969
TITLE: Preparation of amino acid-containing nucleosides for treating viral infections
INVENTOR(S): Keicher, Jesse D.; Roberts, Christopher Don; Dyatkina, Natalia B.
PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042556	A1	20050512	WO 2004-US34955	20041020
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2006079468	A1	20060413	US 2004-861090	20040604
AU 2004285923	A1	20050512	AU 2004-285923	20041020
CA 2542776	AA	20050512	CA 2004-2542776	20041020
EP 1680436	A1	20060719	EP 2004-810014	20041020

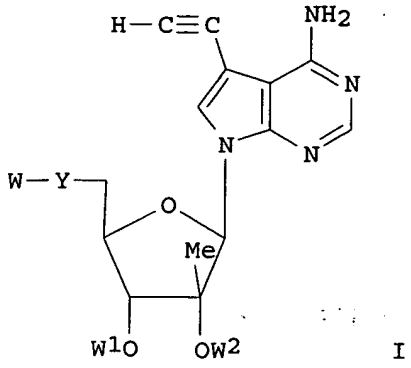
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

PRIORITY APPLN. INFO.:

US 2003-515153P	P	20031027
US 2004-861090	A	20040604
US 2004-602815P	P	20040818
WO 2004-US34955	W	20041020

OTHER SOURCE(S): MARPAT 142:463969

GI



I

AB Disclosed are nucleosides I, wherein Y is bond, -CH2- -O-; W-W2 are independently H, and a pharmaceutically acceptable prodrug; compns. and methods for treating viral infections caused by a Flaviviridae family virus, such as Hepatitis C virus. Thus, I (Y = O, W-W2 = H) was prepared and tested as antiviral agent against Hepatitis C virus (IC50 vales range from 0.09 to > 20 μM).

IT 851387-67-2P

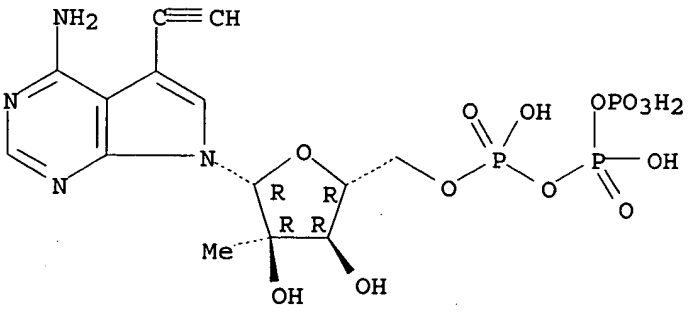
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid-containing nucleosides for treating viral infections)

RN 851387-67-2 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 5-ethynyl-7-[5-O-[hydroxy[[hydroxy(phosphonooxy)phosphinyl]oxy]phosphinyl]-2-C-methyl-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 847551-25-1P

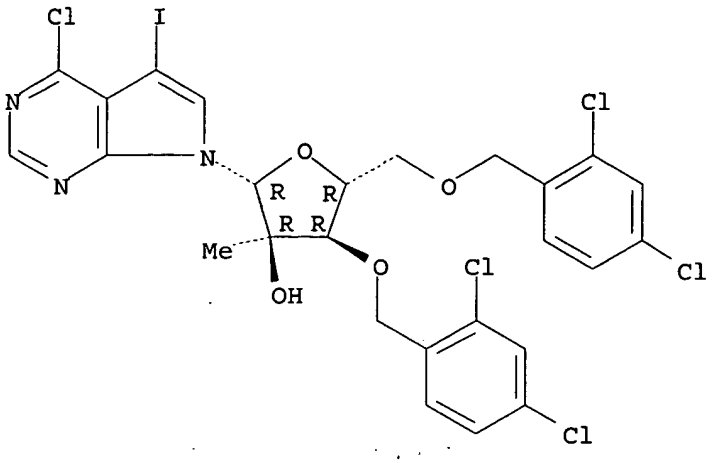
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino acid-containing nucleosides for treating viral infections)

RN 847551-25-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-C-methyl-β-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 23 ~~CAPLUS~~ COPYRIGHT 2006 ACS on STN
ED Entered STN: 20 Apr 2005
ACCESSION NUMBER: ~~2005~~:369125 CAPLUS
DOCUMENT NUMBER: 142:411590
TITLE: Preparation of nucleosides for treating viral infections caused by a Flaviviridae family virus
INVENTOR(S): Roberts, Christopher D.; Keicher, Jesse D.
PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 37 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005090463	A1	20050428	US 2004-861311	20040604
CA 2543116	AA	20050519	CA 2004-2543116	20041020
WO 2005044835	A1	20050519	WO 2004-US34756	20041020
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005215510	A1	20050929	US 2004-970641	20041020
EP 1682564	A1	20060726	EP 2004-795860	20041020
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
AU 2004295291	A1	20050616	AU 2004-295291	20041021
CA 2543090	AA	20050616	CA 2004-2543090	20041021
WO 2005054268	A1	20050616	WO 2004-US35271	20041021

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005215511	A1	20050929	US 2004-971477	20041021
EP 1687321	A1	20060809	EP 2004-817811	20041021

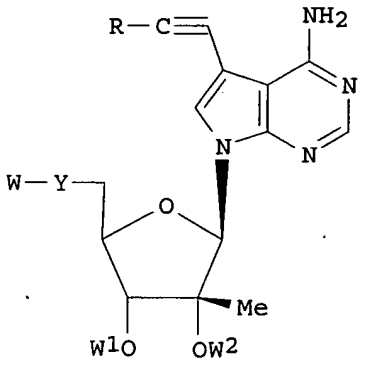
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

PRIORITY APPLN. INFO.:

US 2003-515153P	P	20031027
US 2004-861090	A	20040604
US 2004-861219	A	20040604
US 2004-861311	A	20040604
US 2004-602815P	P	20040818
WO 2004-US34756	W	20041020
WO 2004-US35271	W	20041021

OTHER SOURCE(S): MARPAT 142:411590

GI



I

AB Disclosed are nucleosides I, wherein selected from the group consisting of silyl, amide, alkoxyalkyl, heteroaryl, substituted Ph, alkenyl, alkynyl, alkoxy, acyl, acylamino, acyloxy, aminoacyl, amidino, amino, carboxyl, carboxyl ester, cyano, cycloalkyl, cyclo-alkoxy, guanidino, halo, heteroaryl, hydrazino, hydroxyl, nitro, thiol, sulfonyl; and methods for treating viral infections caused by a Flaviviridae family virus, such as Hepatitis C virus. Thus, I (R = CONH2, Y = O, W-W2 = H) was prepared and tested as antiviral agent against Hepatitis C virus. Y is CH or O; each of W-W2 is independently hydrogen and a pharmaceutically acceptable prodrug; R is. Title nucleosides in combination with the administration of a therapeutically effective amount of one ore more agents active against HCV are reported.

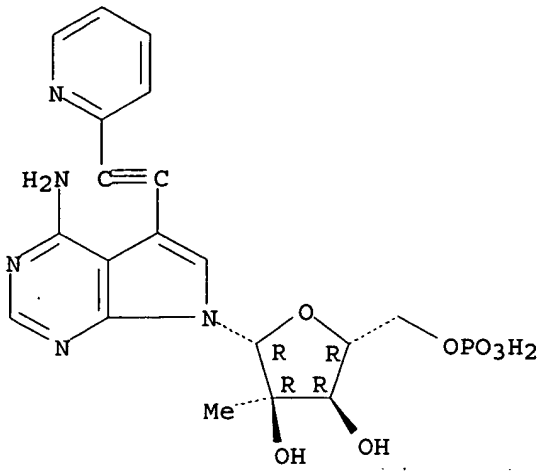
IT 850338-32-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleosides for treating viral infections caused by flaviviridae family virus)

RN 850338-32-8 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-(2-C-methyl-5-O-phosphono-β-D-

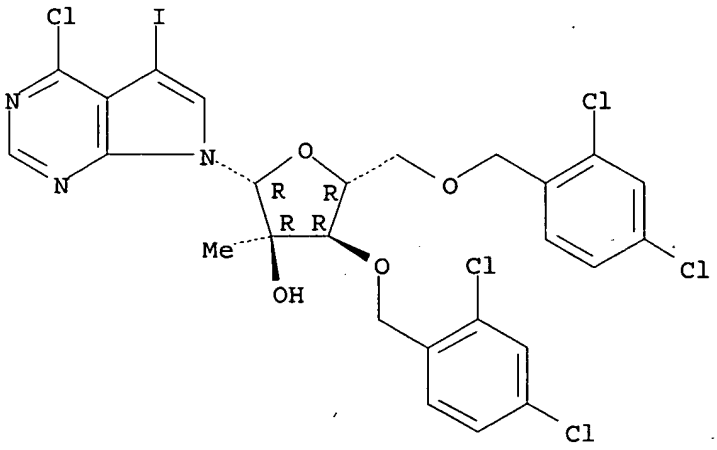
ribofuranosyl)-5-(2-pyridinylethynyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 847551-25-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nucleosides for treating viral infections caused by flaviviridae family virus)
RN 847551-25-1 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-C-methyl-β-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



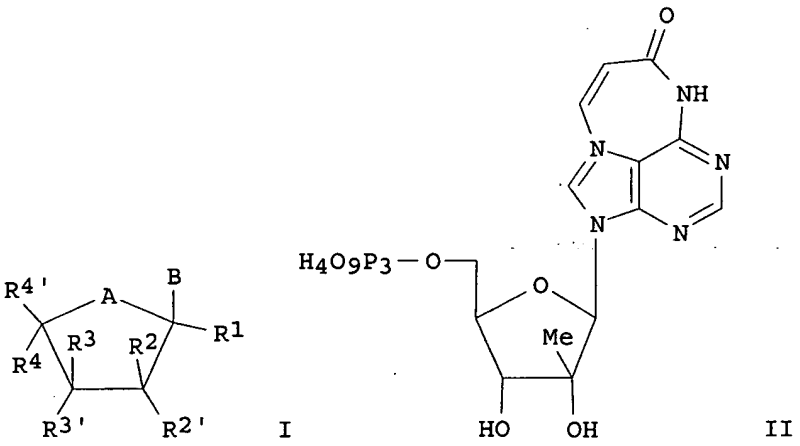
L5 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 11 Mar 2005
ACCESSION NUMBER: 2005:216831 CAPLUS
DOCUMENT NUMBER: 142:298286
TITLE: Preparation of tricyclic nucleosides or nucleotides as antiviral and antitumor therapeutic agents
INVENTOR(S): Cook, Phillip Dan; Ewing, Gregory; Jin, Yi; Lambert, John; Prhavc, Marija; Rajappan, Vasanthakumar; Rajwanshi, Vivek K.; Sakthivel, Kandasamy
PATENT ASSIGNEE(S): Biota, Inc., USA
SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021568	A2	20050310	WO 2004-US27819	20040827
WO 2005021568	B1	20040609		
WO 2005021568	A3	20050421		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004269026	A1	20050310	AU 2004-269026	20040827
CA 2537114	AA	20050310	CA 2004-2537114	20040827
EP 1660511	A2	20060531	EP 2004-782317	20040827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
NO 2006000979	A	20060502	NO 2006-979	20060228

PRIORITY APPLN. INFO.: US 2003-498425P P 20030827
WO 2004-US27819 W 20040827

OTHER SOURCE(S): MARPAT 142:298286
GI



I II

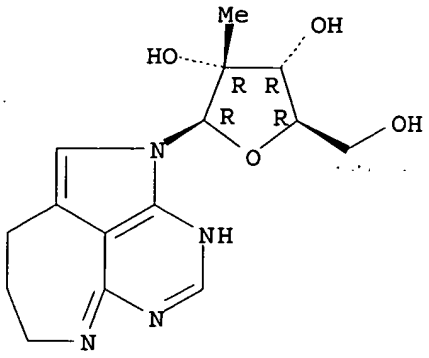
AB Nucleosides and nucleotides containing a tricyclic base portion I, wherein A is O, S, CH2, NH, CHF, CF2; R1, R2, R2', R3, R3', R4 are independently H, F, Cl, iodo, Br, OH, SH, NH2, NHOH, NHNH2, N3, COOH, CN, CONH2, CSNH2, COOR, R, OR, SR, SSR, NHR, NR2; R4' is L-R5; L is O, S, NH, NR, CY2S, CY2NH, CY2, CY2CY2, CY2OCY2, CY2SCY2, CY2NHCY2; Y is H, F, Cl, Br, alkyl, alkenyl, alkynyl, R4' is OH, monophosphate, diphosphate, triphosphate; B is substituted tricyclic nucleobase derivs.; R is alkyl, alkenyl, alkynyl, aryl, acyl, aralkyl; thereof are useful for treating infectious diseases and proliferative disorders, such as viral infections or cancer resp. Thus, nucleotide II was prepared and tested in vitro as polymerase inhibitor, antiviral, and antitumor therapeutic agent. Title compds. were

typically cytotoxic in the range of 30 to > 100 μM. II showed inhibitory of NS5B in the range of 100 to >1000 nM. Selected examples displayed IC50 values in the range of to 100 nM.

IT 847551-17-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic nucleosides or nucleotides as antiviral and antitumor therapeutic agents)

RN 847551-17-1 CAPLUS
CN 2H-2,3,5,6-Tetraazabenz[cd]azulene, 3,7,8,9-tetrahydro-2-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

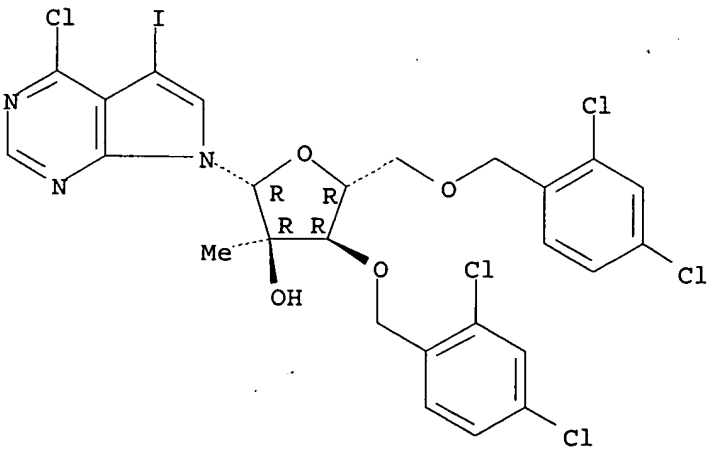
Absolute stereochemistry.



IT 847551-25-1P 847551-73-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic nucleosides or nucleotides as antiviral and antitumor therapeutic agents)

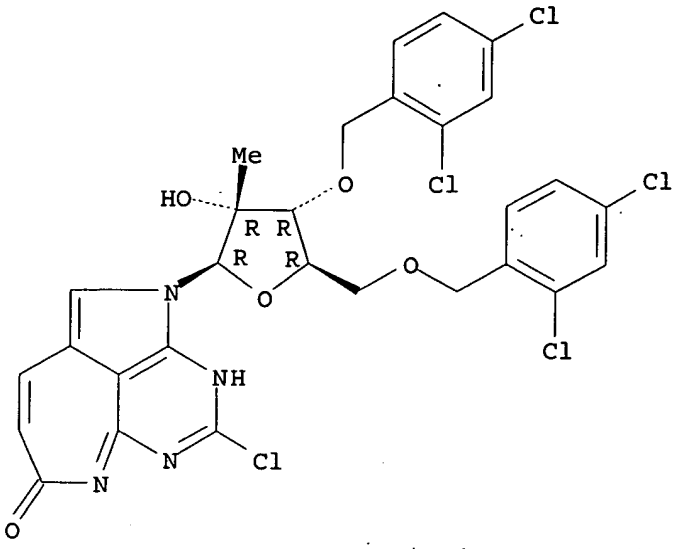
RN 847551-25-1 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-C-methyl-β-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847551-73-9 CAPLUS
CN 7H-2,3,5,6-Tetraazabenz[cd]azulen-7-one, 2-[3,5-bis-O-[(3,4-dichlorophenyl)methyl]-2-C-methyl-β-D-ribofuranosyl]-4-chloro-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 11 Mar 2005
ACCESSION NUMBER: 2005:216597 CAPLUS
DOCUMENT NUMBER: 142:291323
TITLE: Compositions and methods for the treatment of severe acute respiratory syndrome (SARS)
INVENTOR(S): Hardee, Greg; Dellamary, Luis
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 217 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020885	A2	20050310	WO 2004-US16196	20040521
WO 2005020885	A3	20050804		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-472774P P 20030521

AB The invention provides compns. and methods for treating a coronavirus infection, especially a SARS CoV infection. The compns. comprise an antiviral nucleoside or mimetic thereof, or an antiviral antisense agent, in a form suitable for pulmonary or nasal delivery. The methods comprise administration to a patient in need thereof the effective amount of an antiviral composition by pulmonary or nasal instillation.

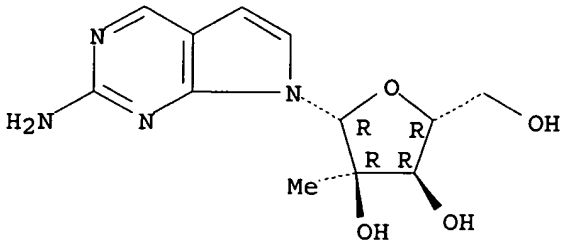
IT 443642-48-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(compns. and methods for treatment of severe acute respiratory syndrome)

RN 443642-48-6 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 14 OF 23 ~~CAPLUS~~ COPYRIGHT 2006 ACS on STN

ED Entered STN: 28 Jan 2005

ACCESSION NUMBER: ~~2005~~:74691 CAPLUS

DOCUMENT NUMBER: 142:336574

TITLE: Synthesis of 2'-β-C-methyl toyocamycin and sangivamycin analogs as potential HCV inhibitors

AUTHOR(S): Ding, Yili; An, Haoyun; Hong, Zhi; Girardet, Jean-Luc

CORPORATE SOURCE: Valeant Pharmaceuticals, Inc., Costa Mesa, CA, 92626, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(3), 725-727
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:336574

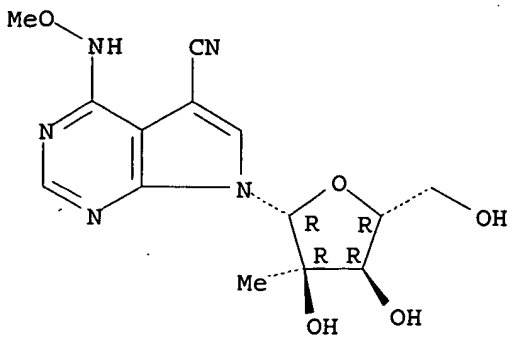
AB Coupling reaction of 2-β-C-methyl-1,2,3,4-tetra-O-benzoyl-D-ribofuranose with 4-amino-6-bromo-5-cyanopyrrolo[2,3-d]pyrimidine, followed by debromination and debenzoylation, gave the 2'-β-C-Me toyocamycin in high yield. Based on this result, a series of 2'-β-C-methyl-4-substituted toyocamycin and sangivamycin analogs were synthesized for biol. screening as potential inhibitors of HCV RNA replication.

IT 677298-94-1P
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 2'-β-C-Me toyocamycin and sangivamycin analogs via coupling reaction as potential HCV inhibitors)

RN 677298-94-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4-(methoxyamino)-7-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

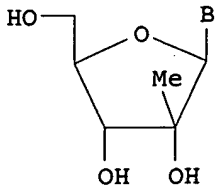


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 15 Jul 2004
ACCESSION NUMBER: ~~2004~~:566635 CAPLUS
DOCUMENT NUMBER: 141:89323
TITLE: Process for the production of 3'-nucleoside prodrugs
INVENTOR(S): Storer, Richard; Moussa, Adel; Mathieu, Steven; Qu, Lin
PATENT ASSIGNEE(S): Idenix Cayman Limited, Cayman I.
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004058792	A1	20040715	WO 2003-US41603		20031223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2511616	AA	20040715	CA 2003-2511616		20031223
AU 2003300434	A1	20040722	AU 2003-300434		20031223
US 2004181051	A1	20040916	US 2003-746395		20031223
EP 1575971	A1	20050921	EP 2003-814400		20031223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003016868	A	20051025	BR 2003-16868		20031223
CN 1751058	A	20060322	CN 2003-80109820		20031223
JP 2006514038	T2	20060427	JP 2004-562599		20031223
NO 2005003557	A	20050908	NO 2005-3557		20050720
PRIORITY APPLN. INFO.:			US 2002-436150P	P	20021223
			WO 2003-US41603	W	20031223

OTHER SOURCE(S): CASREACT 141:89323; MARPAT 141:89323
GI



I

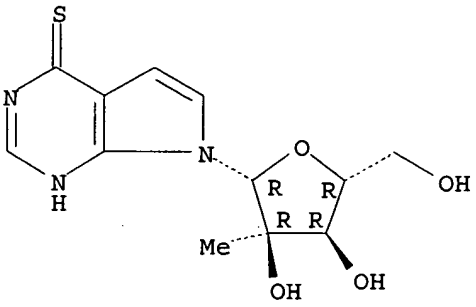
AB Provided is a single-step process for the regioselective 3'-acylation of a ribofuranosyl 2'- or 3'-branched nucleosides I, wherein B is nucleobase. These compds. are useful as antiviral agents, and in particular, can be used to treat Flaviviridae infections in a host in need thereof (no data). Thus, 9-(2'-C-methyl-3'-O-valinoyl-β-D-ribofuranosyl)-6-N-methyladenine dihydrochloride was prepared via regioselective esterification of 9-(2'-C-methyl-β-D-ribofuranosyl)-6-N-methyladenine with N-(tert-butoxycarbonyl)-L-valine.

IT 714249-89-5P 714250-08-5P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for production of nucleoside prodrugs via regioselective esterification)

RN 714249-89-5 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidine-4-thione, 1,7-dihydro-7-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

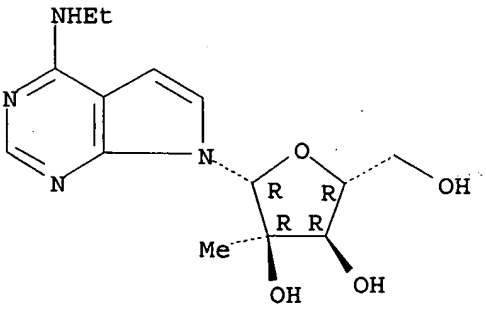
Absolute stereochemistry.



RN 714250-08-5 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-ethyl-7-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 10 Jun 2004

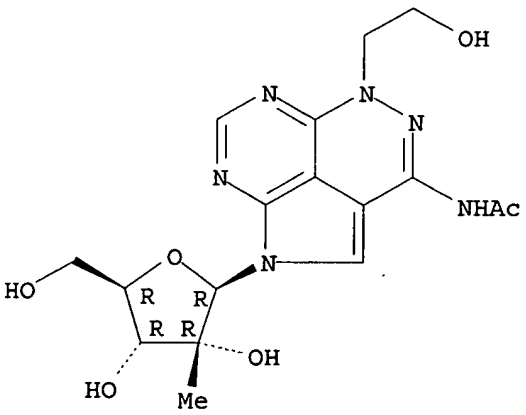
ACCESSION NUMBER: 2004:465503 CAPLUS
DOCUMENT NUMBER: 141:157373
TITLE: Synthesis of new 2'-β-C-methyl related triciribine analogues as anti-HCV agents
AUTHOR(S): Smith, Kenneth L.; Lai, Vicky C. H.; Prigaro, Brett J.; Ding, Yili; Gunic, Esmir; Girardet, Jean-Luc; Zhong, Weidong; Hong, Zhi; Lang, Stanley; An, Haoyun
CORPORATE SOURCE: Valeant Pharmaceuticals International, Costa Mesa, CA, 92626, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(13), 3517-3520
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:157373

AB Ten new β-D-ribofuranosyl and 2'-β-C-methyl-β-D-ribofuranosyl triciribine derivs. with various N4 and 6-N substituents on the tricyclic ring were synthesized from the corresponding toyocamycin and new 2'-β-C-Me toyocamycin derivs. The inhibitory studies of these compds. in the HCV replicon assay reveal that some of them possess interesting anti-HCV properties with low cytotoxicity.

IT 729595-73-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and anti-HCV anal. of β-D-ribofuranosyl and 2'-β-C-methyl-β-D-ribofuranosyl triciribine derivs. with various N4 and 6-N substituents on the tricyclic ring)

RN 729595-73-7 CAPLUS
CN Acetamide, N-[1,5-dihydro-5-(2-hydroxyethyl)-1-(2-C-methyl-β-D-ribofuranosyl)-1,4,5,6,8-pentaazaacenaphthylen-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 08 Apr 2004
ACCESSION NUMBER: 2004:290484 CAPLUS
DOCUMENT NUMBER: 140:327061
TITLE: Nucleoside derivatives for treating hepatitis C virus infection
INVENTOR(S): Roberts, Christopher Don; Dyatkina, Natalia B.
PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA
SOURCE: PCT Int. Appl., 119 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004028481	A2	20040408	WO 2003-US31433	20030930
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2499253	AA	20040408	CA 2003-2499253	20030930
AU 2003279797	A1	20040419	AU 2003-279797	20030930
EP 1572097	A2	20050914	EP 2003-773127	20030930
EP 1572097	A3	20051207		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006505537	T2	20060216	JP 2004-540353	20030930
NO 2005001969	A	20050524	NO 2005-1969	20050422

PRIORITY APPLN. INFO.:
US 2002-415222P P 20020930
US 2003-443169P P 20030129
WO 2003-US31433 W 20030930

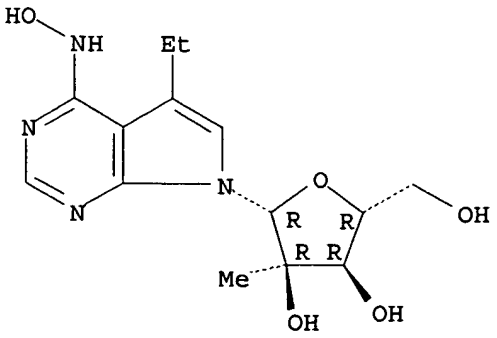
OTHER SOURCE(S): MARPAT 140:327061

AB Nucleoside compns. and methods for treating hepatitis C virus infections. Thus, 9-(2'-C-methyl-β-D-ribofuranosyl)-6-methoxyaminopurine was prepared by the reaction of 6-chloro-9-(2'-C-methyl-β-D-ribofuranosyl)purine and methxylamine. This compound exhibited anti-hepatitis C activity by inhibiting HCV polymerase.

IT 677298-88-3P 677298-93-0P 677298-94-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nucleoside derivs. for treating hepatitis C virus infection)

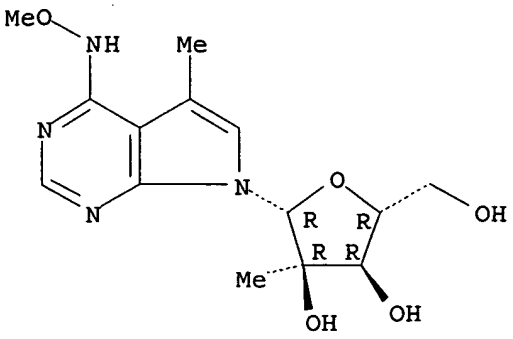
RN 677298-88-3 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 5-ethyl-1,7-dihydro-7-(2-C-methyl-β-D-ribofuranosyl)-, oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.



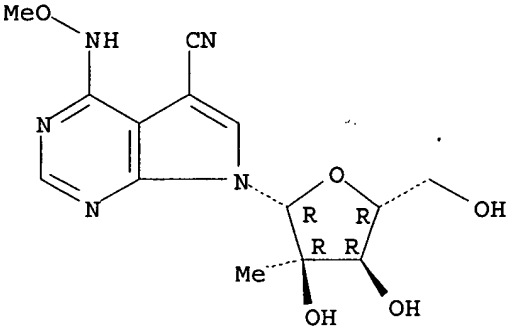
RN 677298-93-0 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-7-(2-C-methyl-β-D-ribofuranosyl)-, O-methyloxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.



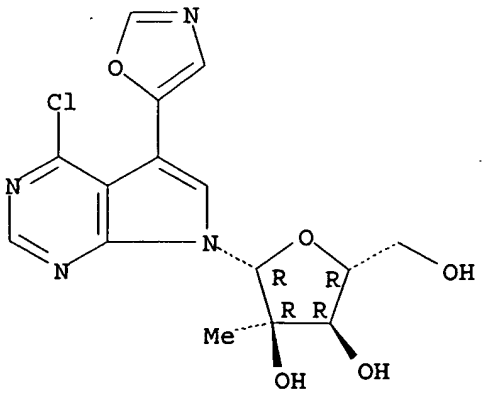
RN 677298-94-1 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4-(methoxyamino)-7-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 677299-14-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(nucleoside derivs. for treating hepatitis C virus infection)
RN 677299-14-8 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-7-(2-C-methyl-β-D-ribofuranosyl)-5-(5-oxazolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 07 Dec 2003

too New

ACCESSION NUMBER: 2003:951160 CAPLUS
DOCUMENT NUMBER: 140:13688
TITLE: Oligonucleotides having modified nucleoside units with various linkages, and their uses as antisense agents, ribozymes, aptamers, siRNA, probes, and primers, or when hybridized to RNA, as substrates for RNA cleaving enzymes
INVENTOR(S): Eldrup, Anne; Cook, Phillip Dan; Parshall, Lynne B.
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 161 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003100017	A2	20031204	WO 2003-US16526	20030523
WO 2003100017	A3	20040826		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003241621	A1	20031212	AU 2003-241621	20030523
US 2004014108	A1	20040122	US 2003-444298	20030523
PRIORITY APPLN. INFO.:			US 2002-383358P P	20020524
			WO 2003-US16526 W	20030523

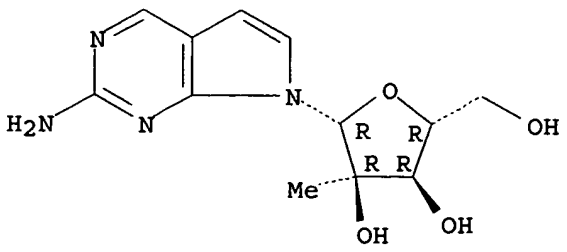
OTHER SOURCE(S): MARPAT 140:13688

AB Disclosed are oligonucleotides that include one or more modified nucleoside units. The examples present the representative preparation of modified nucleosides and nucleoside amidites, for incorporation into said oligonucleotides. The oligonucleotides are particularly useful as antisense agents, ribozymes aptamer, siRNA agents, probes and primers or, when hybridized to an RNA, as a substrate for RNA cleaving enzymes including Rnase H and dsRNase.

IT 443642-48-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of modified nucleosides and nucleoside amidites for incorporation into oligonucleotides, and uses)

RN 443642-48-6 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl-β-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

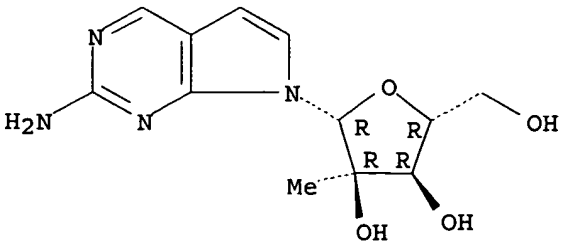


L5 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: ~~07 Dec 2003~~
ACCESSION NUMBER: ~~2003~~:951042 CAPLUS
DOCUMENT NUMBER: 140:24085
TITLE: Oligonucleotides having modified nucleoside units with various linkages, and their uses as antisense agents, ribozymes, aptamers, siRNA, probes, and primers, or when hybridized to RNA, as substrates for RNA cleaving enzymes
INVENTOR(S): Eldrup, Anne; Cook, Phillip Dan; Parshall, B. Lynne
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 271 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099840	A1	20031204	WO 2003-US16502	20030523
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003237249	A1	20031212	AU 2003-237249	20030523
US 2004014957	A1	20040122	US 2003-444628	20030523
PRIORITY APPLN. INFO.:			US 2002-383438P P	20020524
			WO 2003-US16502 W	20030523

OTHER SOURCE(S): MARPAT 140:24085
AB Disclosed are oligonucleotides that include one or more modified nucleoside units. The examples present the representative preparation of modified nucleosides and nucleoside amidites, for incorporation into said oligonucleotides. The oligonucleotides are particularly useful as antisense agents, ribozymes aptamer, siRNA agents, probes and primers or, when hybridized to an RNA, as a substrate for RNA cleaving enzymes including Rnase H and dsRNase.
IT 443642-48-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(oligonucleotides having modified nucleoside units with various linkages)
RN 443642-48-6 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl-β-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Aug 2003
ACCESSION NUMBER: 2003:656596 CAPLUS
DOCUMENT NUMBER: 139:191380
TITLE: Methods of inhibiting orthopoxvirus replication with nucleoside compounds
INVENTOR(S): Olsen, David B.; Lafemina, Robert L.; Eldrup, Anne B.; Bera, Sanjib
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068244	A1	20030821	WO 2003-US3703	20030207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2474563	AA	20030821	CA 2003-2474563	20030207
AU 2003209045	A1	20030904	AU 2003-209045	20030207
EP 1476169	A1	20041117	EP 2003-707772	20030207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005164960	A1	20050728	US 2003-504445	20030207
JP 2005527499	T2	20050915	JP 2003-567425	20030207
PRIORITY APPLN. INFO.:			US 2002-356805P P	20020213
			WO 2003-US3703 W	20030207

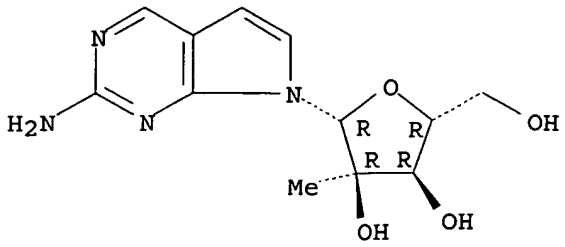
OTHER SOURCE(S): MARPAT 139:191380

AB The present invention provides methods of inhibiting orthopoxvirus replication and/or treating orthopoxvirus infection with certain nucleoside compds. and derivs. thereof. These compds. are particularly useful as inhibitors of vaccinia virus and variola virus replication and/or for the treatment of vaccinia virus and variola virus infection. The nucleoside compds. may be administered alone or in combination with other agents active against orthopoxvirus infection, in particular against vaccinia virus or variola virus infection. Another aspect of the present invention provides for the use of such nucleoside compds. in the manufacture of a medicament for the inhibition of orthopoxvirus replication and/or for the treatment of orthopoxvirus infection. Yet a further aspect of the present invention provides such nucleoside compds. for use as a medicament for the inhibition of orthopoxvirus replication and/or for the treatment of orthopoxvirus infection.

IT 443642-48-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibiting orthopoxvirus replication with nucleoside compds.)

RN 443642-48-6 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

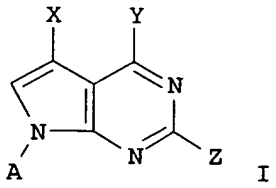


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 01 Aug 2003
ACCESSION NUMBER: 2003:590940 CAPLUS
DOCUMENT NUMBER: 139:133787
TITLE: Preparation of deazapurine nucleoside analogs as antiviral agents
INVENTOR(S): An, Haoyun; Ding, Yili; Chamakura, Varaprasad; Hong, Zhi
PATENT ASSIGNEE(S): Ribapharm Inc., USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003061576	A2	20030731	WO 2003-US1545	20030117
WO 2003061576	A3	20040401		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003209285	A1	20030902	AU 2003-209285	20030117
PRIORITY APPLN. INFO.:			US 2002-350296P P	20020117
			WO 2003-US1545 W	20030117

OTHER SOURCE(S): MARPAT 139:133787
GI



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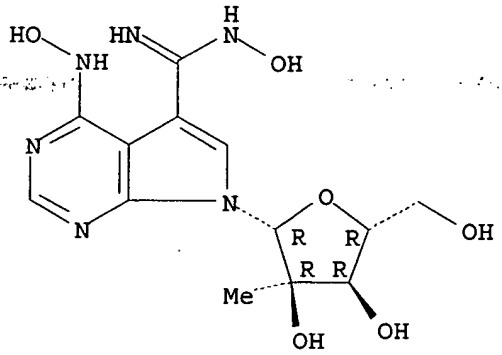
AB Methods, compns., and uses for various deazapurine nucleoside libraries and library compds. I are provided. Particularly preferred deazapurine nucleosides include 7-deazapurine nucleosides, 7-deaza-8-azapurine nucleosides, toyocamycin nucleoside analogs, 3-deazapurine nucleosides, and 9-deazapurine nucleosides, while preferred uses especially include use of such compds. as pharmacol., and particularly antiviral agents. 4-N,N-dimethylamino-7-(β-D-ribofuranosyl)pyrrolo[2,3-d]pyrimidine-5-N-hydroxycarbamidine was prepared and tested in vitro as antiviral agent.

IT 565455-29-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of deazapurine nucleoside analogs as antiviral agents)

RN 565455-29-0 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carboximidamide, N-hydroxy-4-(hydroxyamino)-7-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 26 Jul 2002
ACCESSION NUMBER: 2002:555629 CAPLUS
DOCUMENT NUMBER: 137:125359
TITLE: Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase
INVENTOR(S): Carroll, Steven S.; Lafemina, Robert L.; Hall, Dawn L.; Himmelberger, Amy L.; Kuo, Lawrence C.; Maccoss, Malcolm; Olsen, David B.; Rutkowski, Carrie A.; Tomassini, Joanne E.; An, Haoyun; Bhat, Balkrishen; Bhat, Neelima; Cook, Phillip Dan; Eldrup, Anne B.; Guinosso, Charles J.; Prhavc, Marija; Prakash, Thazha P.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 235 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057425	A2	20020725	WO 2002-US1531	20020118
WO 2002057425	A3	20050421		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,

UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2433878	AA	20020725	CA 2002-2433878	20020118
US 2002147160	A1	20021010	US 2002-52318	20020118
US 6777395	B2	20040817		
CN 1498221	A	20040519	CN 2002-806977	20020118
JP 2004532184	T2	20041021	JP 2002-558479	20020118
EP 1539188	A2	20050615	EP 2002-709095	20020118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004072788	A1	20040415	US 2003-431657	20030507
ZA 2003005078	A	20040521	ZA 2003-5078	20030630
US 2004067901	A1	20040408	US 2003-688691	20031017
US 2004110717	A1	20040610	US 2004-250873	20040116
US 7105499	B2	20060912		
US 2005272676	A1	20051208	US 2005-200499	20050809
US 2006205686	A1	20060914	US 2005-236224	20050927

PRIORITY APPLN. INFO.:

US 2001-263313P	P	20010122
US 2001-282069P	P	20010406
US 2001-299320P	P	20010619
US 2001-344528P	P	20011025
US 2002-52318	A3	20020118
WO 2002-US1531	W	20020118
US 2003-431657	B1	20030507
US 2003-688691	A1	20031017

OTHER SOURCE(S): MARPAT 137:125359

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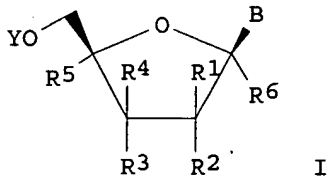

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AB The present invention provides the preparation of nucleoside compds. I, wherein B is nucleobase, Y is H, alkylcarbonyl, phosphate; R1 is H, alkenyl, alkynyl, alkyl; R2 and R3 are independently H, OH, halogen, alkyl, alkoxy, alkenyloxy, alkylthio, alkylcarbonyloxy, aryloxycrbonyl, azido, amino, alkylamino; R1 and R2 together with the carbon atom to which they are attached form a 3- to 6-membered heterocycle; R4 is H, OH, SH, NH2, alkylamino, cycloalkylamino, halogen, alkyl, alkoxy, CF3; R5 and R6 are independently H, hydroxymethyl, Me, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 4-amino-1-(2-C-methyl-β-D-ribofuranosyl)-1H-pyrazolo[3,4-d]pyrimidine was prepared as inhibitors of RNA-dependent RNA viral polymerase. Representative compds. tested in the HCV NS5B polymerase assay exhibited IC's less than 100 μM. The compds.

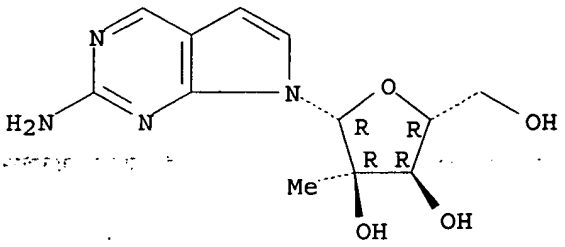
of the present invention were also evaluated for their ability to affect the replication of Hepatitis C Virus RNA in cultured hepatoma (HuH-7) cells containing a sub-genomic HCV Replicon.

IT 443642-48-6P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside derivs. as inhibitors of RNA-dependent human RNA viral polymerase)

RN 443642-48-6 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 26 Jul 2002

ACCESSION NUMBER: 2002:555511 CAPLUS

DOCUMENT NUMBER: 137:109450

TITLE: Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase

INVENTOR(S): Carroll, Steven S.; Maccoss, Malcolm; Olsen, David B.; Bhat, Balkrishen; Bhat, Neelima; Cook, Phillip Dan; Eldrup, Anne B.; Prakash, Thazha P.; Prhavc, Marija; Song, Quanlai

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057287	A2	20020725	WO 2002-US3086	20020118
WO 2002057287	A3	20021010		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
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CA 2434386	AA	20020825	CA 2002-2434386	20020118
US 2002147160	A1	20021010	US 2002-52318	20020118
US 6777395	B2	20040817		
EE 200300338	A	20031015	EE 2003-338	20020118
EP 1355916	A2	20031029	EP 2002-709299	20020118
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

BR 2002006614	A	20040217	BR 2002-6614		20020118
CN 1498221	A	20040519	CN 2002-806977		20020118
JP 2004520367	T2	20040708	JP 2002-557963		20020118
NZ 526703	A	20041224	NZ 2002-526703		20020118
US 2004072788	A1	20040415	US 2003-431657		20030507
ZA 2003005078	A	20040521	ZA 2003-5078		20030630
BG 108000	A	20040831	BG 2003-108000		20030717
NO 2003003289	A	20030919	NO 2003-3289		20030721
US 2004067901	A1	20040408	US 2003-688691		20031017
US 2005272676	A1	20051208	US 2005-200499		20050809
US 2006205686	A1	20060914	US 2005-236224		20050927
PRIORITY APPLN. INFO.:			US 2001-263313P	P	20010122
			US 2001-282069P	P	20010406
			US 2001-299320P	P	20010619
			US 2001-344528P	P	20011025
			US 2002-52318	A3	20020118
			WO 2002-US3086	W	20020118
			US 2003-431657	B1	20030507
			US 2003-688691	A1	20031017

OTHER SOURCE(S): MARPAT 137:109450

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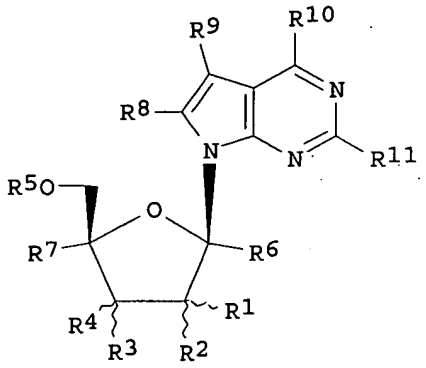


I

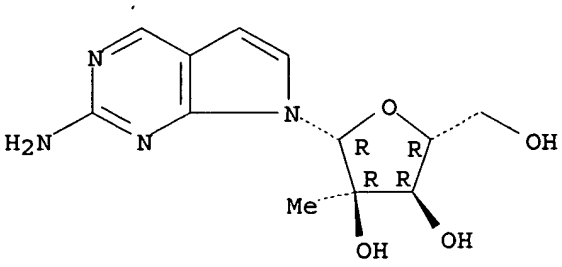
AB The present invention provides nucleoside compds. I, wherein R1 is alkenyl, alkynyl, alkyl, wherein alkyl is unsubstituted or substituted with hydroxy, amino, alkoxy, alkylthio, one to three fluorine atoms; R2 is hydrogen, fluorine, hydroxy, mercapto, alkoxy, alkyl; or R1 and R2 together with the carbon atom to which they are attached form a 3- to 6-membered saturated monocyclic ring system optionally containing a heteroatom selected from O, S, and NC-alkyl; R3 and R4 are each independently hydrogen, cyano, azido, halogen, hydroxy, mercapto, amino, alkoxy, alkenyl, alkynyl, alkyl; R5 is hydrogen, alkylcarbonyl, phosphate; R6 and R7 are each independently hydrogen, Me, hydroxymethyl, or fluoromethyl; R8 is hydrogen, alkyl, alkynyl, halogen, cyano, carboxy, alkyloxycarbonyl, azido, amino, alkylamino, di(alkyl)amino, hydroxy, alkoxy, alkylthio, alkylsulfonyl, alkylaminomethyl, cycloheteroalkyl; R9 is hydrogen, cyano, nitro, alkyl, NHCONH2, amide, thioamide, ester, C(=NH)NH2, hydroxy, alkoxy, amino, alkylamino, di(alkyl)amino, halogen, (1,3-oxazol-2-yl), (1,3-thiazol-2-yl), or (imidazol-2-yl); R10 and R11 are each independently hydrogen, hydroxy, halogen, alkoxy, amino, alkylamino, di(alkyl)amino, cycloalkylamino, di(cycloalkyl)amino, cycloheteroalkyl, and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes

pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 4-amino-7-(2-C-methyl-β-D-arabinofuranosyl)-7H-pyrrolo[2,3-d]pyrimidine was prepared as inhibitors of RNA-dependent RNA viral polymerase. Representative compds. tested in the HCV NS5B polymerase assay exhibited IC's less than 100 μM. The nucleoside derivs. were also screened for cytotoxicity against cultured hepatoma (HuH-7) cells containing a sub-genomic HCV Replicon in an MTS cell-based assay.

IT 443642-48-6P 443643-13-8P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside derivs. as inhibitors of RNA-dependent human RNA viral polymerase)

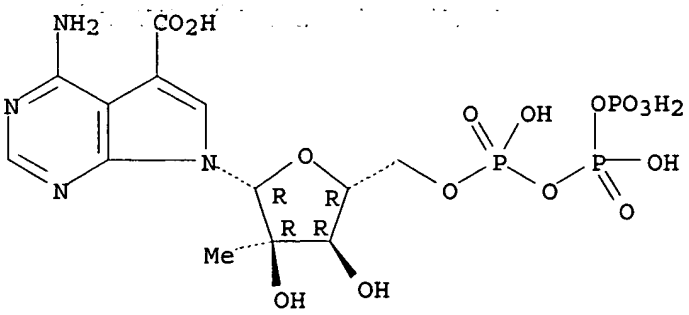
RN 443642-48-6 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 443643-13-8 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carboxylic acid, 4-amino-7-[5-O-[hydroxy[[hydroxy(phosphonooxy)phosphinyl]oxy]phosphinyl]-2-C-methyl-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/517,294

10/517,295

2'-CH_2F | PURINES & PYRIMIDINES

2'-CHF_2

2'-CF_3

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NEWS 4	MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS 5	MAY 11	KOREAPAT updates resume
NEWS 6	MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS 7	MAY 30	IPC 8 Rolled-up Core codes added to CA/CAplus and USPATFULL/USPAT2
NEWS 8	MAY 30	The F-Term thesaurus is now available in CA/CAplus
NEWS 9	JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS 10	JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and and display fields
NEWS 11	JUN 28	Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 12	JUl 11	CHEMSAFE reloaded and enhanced
NEWS 13	JUl 14	FSTA enhanced with Japanese patents
NEWS 14	JUl 19	Coverage of Research Disclosure reinstated in DWPI
NEWS 15	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS 16	AUG 28	ADISCTI Reloaded and Enhanced
NEWS 17	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS 18	SEP 11	CA/CAplus enhanced with more pre-1907 records
NEWS 19	SEP 21	CA/CAplus fields enhanced with simultaneous left and right truncation

NEWS EXPRESS	JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:41:18 ON 25 SEP 2006

=> file reg
COST IN U.S. DOLLARS SINCE FILE TOTAL

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                                                        ENTRY    SESSION
FULL ESTIMATED COST                                      0.21       0.21

FILE 'REGISTRY' ENTERED AT 13:41:38 ON 25 SEP 2006
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DICTIONARY FILE UPDATES:  24 SEP 2006  HIGHEST RN 908332-13-8

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=>
Uploading C:\Program Files\Stnexp\Queries\517,295-R1-STR-Carroll et al.str

L1       STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1               STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss sam
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SAMPLE SCREEN SEARCH COMPLETED -        8 TO ITERATE

100.0% PROCESSED         8 ITERATIONS                              2 ANSWERS
SEARCH TIME: 00.00.01

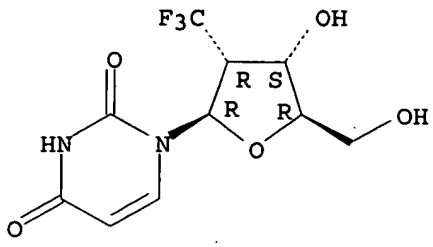
FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            8 TO      329
PROJECTED ANSWERS:               2 TO      124

L2             2 SEA SSS SAM L1

=> d scan

L2    2 ANSWERS   REGISTRY  COPYRIGHT 2006 ACS on STN
IN    Uridine, 2'-deoxy-2'-(trifluoromethyl)- (9CI)
MF    C10 H11 F3 N2 O5

Absolute stereochemistry.  Rotation (-).
```

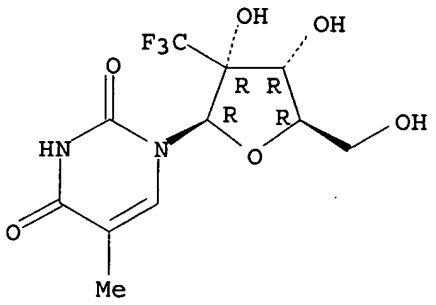


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 2 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Uridine, 5-methyl-2'-C-(trifluoromethyl)- (9CI)
MF C11 H13 F3 N2 O6

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s l1 sss full
FULL SEARCH INITIATED 13:43:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 117 TO ITERATE

100.0% PROCESSED 117 ITERATIONS 20 ANSWERS
SEARCH TIME: 00.00.01

L3 20 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	167.82	168.03

FILE 'CAPLUS' ENTERED AT 13:43:24 ON 25 SEP 2006
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FILE LAST UPDATED: 24 Sep 2006 (20060924/ED)

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(FILE 'HOME' ENTERED AT 13:41:18 ON 25 SEP 2006)

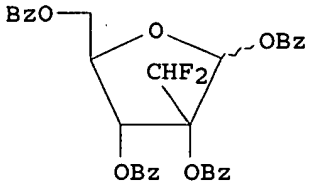
FILE 'REGISTRY' ENTERED AT 13:41:38 ON 25 SEP 2006
L1 STRUCTURE UPLOADED
L2 2 S L1 SSS SAM
L3 20 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:43:24 ON 25 SEP 2006

=> s l3
L4 12 L3

=> d l4 ed ibib abs hitstr 1-12

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 29 Aug 2005
ACCESSION NUMBER: 2005:921262 CAPLUS
DOCUMENT NUMBER: 143:422567
TITLE: Synthesis of 2'-C-Difluoromethylribonucleosides and Their Enzymic Incorporation into Oligonucleotides
AUTHOR(S): Ye, Jing-Dong; Liao, Xiangmin; Piccirilli, Joseph A.
CORPORATE SOURCE: Howard Hughes Medical Institute, Departments of Biochemistry & Molecular Biology and Chemistry, University of Chicago, Chicago, IL, 60637, USA
SOURCE: Journal of Organic Chemistry (2005), 70(20), 7902-7910
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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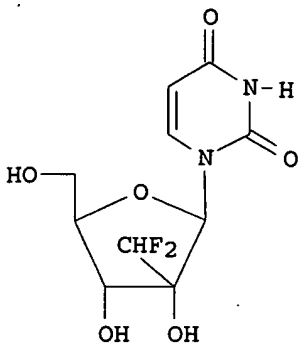


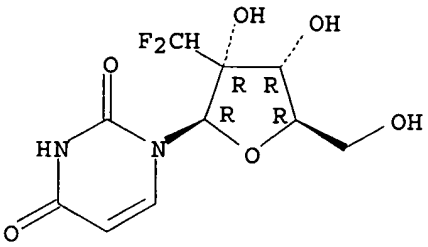
II

AB Nucleosides bearing a branched ribose have significant promise as therapeutic agents and bio-technol. and biochem. tools. Here we describe synthetic entry into a new subclass of these analogs, 2'-C-β-difluoromethylribonucleosides. We constructed the glycosylating agent I in three steps from 1,3,5-tri-O-benzoyl-α-D-ribofuranose. The key steps included nucleophilic addition of difluoromethyl Ph sulfone to 2-keto-ribose followed by mild and efficient reductive de-sulfonation. Ribofuranose I glycosylated bis(trimethylsilyl)uracil directly, giving difluoromethyluridine II efficiently after deprotection. Conversion of I to the corresponding ribofuranosyl bromide allowed efficient access to C, A, and G analogs. A related approach starting from Me D-ribofuranose offered synthetic entry into the diastereomeric manifold, 2'-C-α-difluoromethyl-arabino-α-pyrimidine. To incorporate 2'-C-β-difluoromethyluridine into an oligodeoxyribonucleotide we converted II to the bis-phosphate and carried out successive ligation reactions using T4 RNA ligase and T4 DNA ligase. Analogous to natural RNA linkages, the resulting oligonucleotide undergoes hydroxide-catalyzed backbone scission at the difluoromethyluridine residue via internal trans-phosphorylation.

IT 867287-43-2P 867287-57-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of difluoromethylribonucleosides and their enzymic incorporation into oligonucleotides)

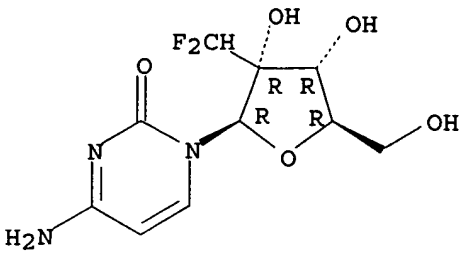
RN 867287-43-2 CAPLUS
CN Uridine, 2'-C-(difluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



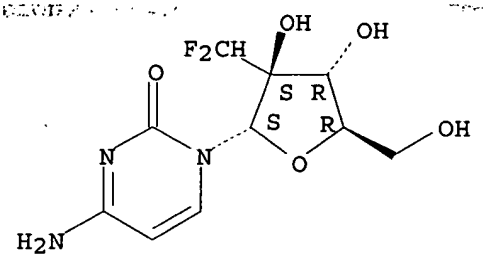
RN 867287-57-8 CAPLUS
CN Cytidine, 2'-C-(difluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



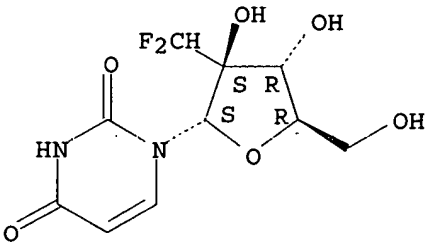
IT 867287-79-4P 867287-80-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of difluoromethylribonucleosides and their enzymic incorporation into oligonucleotides)
RN 867287-79-4 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[2-C-(difluoromethyl)-α-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 867287-80-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-C-(difluoromethyl)-α-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



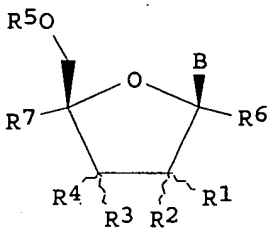
REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 02 Jan 2004
ACCESSION NUMBER: 2004:2898 CAPLUS
DOCUMENT NUMBER: 140:42424
TITLE: Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase
INVENTOR(S): Carroll, Steven S.; Olsen, David B.; Durette, Philippe L.; Bhat, Balkrishen; Dande, Prasad; Eldrup, Anne B.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000858	A2	20031231	WO 2003-US19172	20030617
WO 2004000858	A3	20050512		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488534	AA	20031231	CA 2003-2488534	20030617
AU 2003269890	A1	20040106	AU 2003-269890	20030617
EP 1551421	A2	20050713	EP 2003-751777	20030617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005530843	T2	20051013	JP 2004-515870	20030617
PRIORITY APPLN. INFO.:			US 2002-390579P	P 20020621
			WO 2003-US19172	W 20030617

OTHER SOURCE(S): MARPAT 140:42424
GI



I

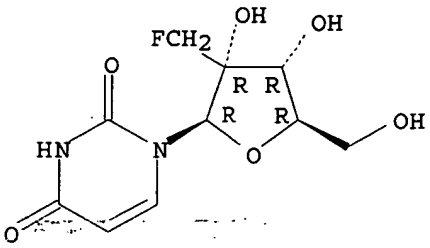
AB The present invention provides nucleoside compds. I, wherein B is nucleobase; R1 is fluoromethyl, difluoromethyl, trifluoromethyl; R2 is H, F, amino, OH, SH, alkoxy, alkylcarbonyloxy, alkyl; R3 and R4 are independently H, Cn, N3, halogen, OH, SH, amino, alkoxy, alkylcarconyloxy, alkenyl, alkynyl; R5 is H, alkylcarbonyl, P3O9H4, P2O6H3, phosphophonyl; R6 and R7 independently H, Me, hydroxymethyl, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 2-amino-9-(2-C-fluoromethyl-β-D-ribofuranosyl)-3,9-dihydropurin-6-one was prepared and tested as inhibitor of RNA-dependent RNA viral polymerase. Title compds. tested in the HCV NS5B polymerase assay exhibited IC50's

less than 100 μmol.

IT 510765-51-2P 636581-91-4P 636581-92-5P
636581-93-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside derivs. as inhibitors of RNA-dependent RNA viral polymerase)

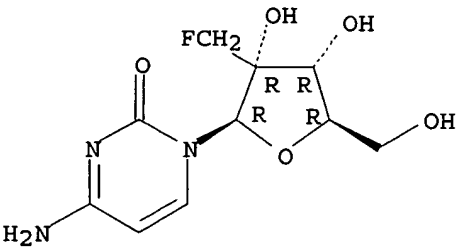
RN 510765-51-2 CAPLUS
CN Uridine, 2'-C-(fluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



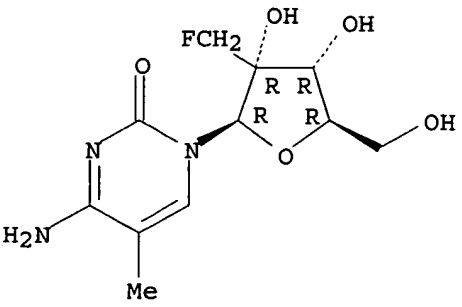
RN 636581-91-4 CAPLUS
CN Cytidine, 2'-C-(fluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



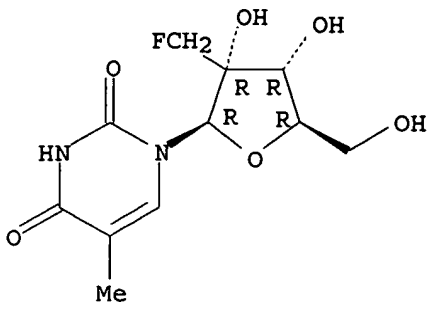
RN 636581-92-5 CAPLUS
CN Cytidine, 2'-C-(fluoromethyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 636581-93-6 CAPLUS
CN Uridine, 2'-C-(fluoromethyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



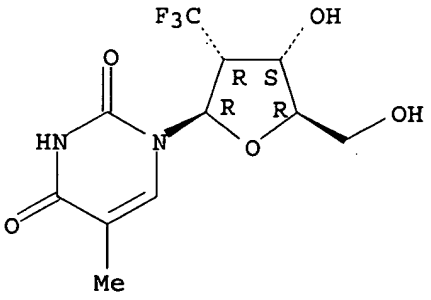
L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 29 Jun 2003
ACCESSION NUMBER: 2003:491895 CAPLUS
DOCUMENT NUMBER: 139:323734
TITLE: Synthesis and antiviral evaluation of 2'-deoxy-2'-C-trifluoromethyl β-D-ribonucleoside analogues bearing the five naturally occurring nucleic acid bases
AUTHOR(S): Jeannot, Frederic; Gosselin, Gilles; Mathe, Christophe
CORPORATE SOURCE: Laboratoire de Chimie Organique Biomoleculaire de Synthese, UMR 5625 CNRS-Universite Montpellier II, Montpellier, 34095, Fr.
SOURCE: Organic & Biomolecular Chemistry (2003), 1(12), 2096-2102
CODEN: OBCRAK; ISSN: 1477-0520
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:323734

AB 2'-Deoxy-2'-C-trifluoromethyl-β-D-ribonucleoside derivs. bearing the five naturally occurring acid bases have been synthesized. All these derivs. were prepared by glycosylation reactions of purine and pyrimidine bases with a suitable peracylated 2-deoxy-2-C-trifluoromethyl sugar precursor to afford anomeric mixts. of protected nucleosides. After separation and deprotection, the resulting β-nucleoside analogs were tested for their activity against HIV, HBV and several RNA viruses. However, none of these compds. showed significant antiviral activity nor cytotoxicity.

IT 159312-37-5P 614735-32-9P 614735-33-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antiviral evaluation of deoxy-C-trifluoromethyl-β-D-ribonucleoside analogs bearing the five naturally occurring nucleic acid bases)

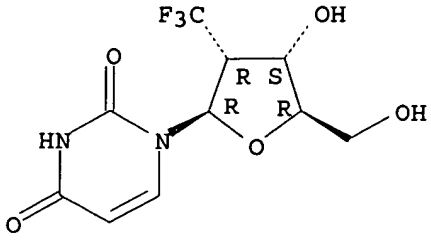
RN 159312-37-5 CAPLUS
CN Uridine, 2'-deoxy-5-methyl-2'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



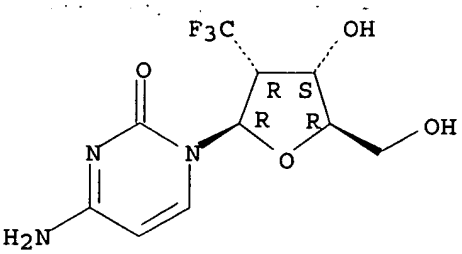
RN 614735-32-9 CAPLUS
CN Uridine, 2'-deoxy-2'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 614735-33-0 CAPLUS
CN Cytidine, 2'-deoxy-2'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

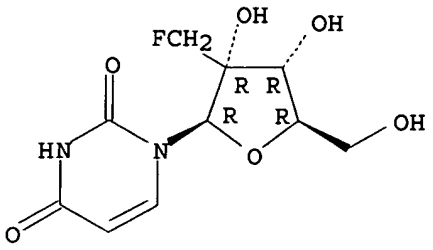
L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 14 Feb 2003
ACCESSION NUMBER: 2003:114368 CAPLUS
DOCUMENT NUMBER: 138:304462
TITLE: Synthesis of 2'-C-β-Fluoromethyluridine
AUTHOR(S): Dai, Qing; Piccirilli, Joseph A.
CORPORATE SOURCE: Howard Hughes Medical Institute, Department of Biochemistry & Molecular Biology, Department of Chemistry, The University of Chicago, Chicago, IL, 60637, USA
SOURCE: Organic Letters (2003), 5(6), 807-810
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:304462

AB 2'-C-β-Fluoromethyluridine represents both a potentially important biol. agent and a tool for biochem. anal. Here the authors describe the first synthesis of this compound starting from uridine. The key steps include protection of the uracil base with methoxyethoxymethyl (MEM) chloride, conversion to the corresponding 2'-C-α-epoxide, and regioselective opening of the oxirane ring with potassium fluoride/hydrogen fluoride. Subsequent acetylation of the 3'- and 5'-hydroxyl groups enables MEM removal using B-bromocatecholborane. Deacetylation generates the parent nucleoside, 2'-C-β-fluoromethyluridine.

IT 510765-51-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of C-β-fluoromethyluridine from uridine via uracil

protection with MEM, epoxidn. and regioselective ring opening)
RN 510765-51-2 CAPLUS
CN Uridine, 2'-C-(fluoromethyl)- (9CI) (CA INDEX NAME)

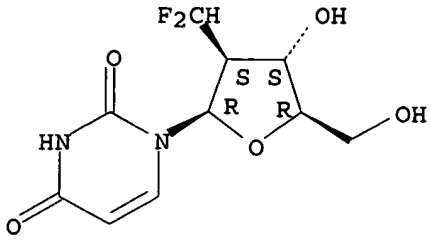
Absolute stereochemistry.



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

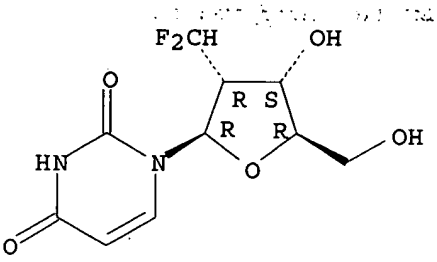
L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 01 May 2002
ACCESSION NUMBER: 2002:323128 CAPLUS
DOCUMENT NUMBER: 137:140718
TITLE: New method for the preparation of 3'- and 2'-O-phosphoramidites of 2'- and 3'-difluoromethyluridine derivatives
AUTHOR(S): Serafinowski, Pawel J.; Brown, Catherine A.
CORPORATE SOURCE: CRC Centre for Cancer Therapeutics at the Institute of Cancer Research, Surrey, SM2 5NG, UK
SOURCE: Nucleosides, Nucleotides & Nucleic Acids (2002), 21(1), 1-13
CODEN: NNNAFY; ISSN: 1525-7770
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:140718
AB Hydrogenation of 2'-deoxy-2'-difluoromethylene-5'-O-dimethoxytrityluridine and 3'-deoxy-3'-difluoromethylene-5'-O-dimethoxytrityluridine, gave the corresponding 2'- and 3'-difluoromethyluridine derivs (I). Detritylation of I resulted in the formation of 1-(2-deoxy-2-C-difluoromethyl-β-D-arabino-pentofuranosyl)uracil and 1-(3-deoxy-3-C-difluoromethyl-β-D-xylo-pentofuranosyl)- uracil as well as corresponding minor ribo- isomers. 1-(2-Deoxy-2-C-difluoromethyl-β-D-arabino-pentofuranosyl)uracil and its ribo- isomer were also obtained from 2'-deoxy-2'-difluoromethylene-3',5'-O-(tetraisopropyldisiloxane-1,3-diyl)uridine. Finally, phosphitylation of deoxy-difluoromethyl-dimethyoxy-trityl-pentofuranosyl uracil provided the title 2'- and 3'-O-phosphoramidites.
IT 349654-62-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3'- and 2'-O-phosphoramidites of 2'- and 3'-difluoromethyluridine derivs. via hydrogenation and phosphitylation of uracil derivs. as key steps)
RN 349654-62-2 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-deoxy-2-(difluoromethyl)-β-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 444811-82-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 3'- and 2'-O-phosphoramidites of 2'- and 3'-difluoromethyluridine derivs. via hydrogenation and phosphitylation of uracil derivs. as key steps)
RN 444811-82-9 CAPLUS
CN Uridine, 2'-deoxy-2'-(difluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 14 Sep 2001
ACCESSION NUMBER: 2001:675066 CAPLUS
DOCUMENT NUMBER: 136:37846
TITLE: Synthesis of some 2'- and 3'-fluoroalkyl substituted nucleosides and oligonucleotides
AUTHOR(S): Serafinowski, Pawel J.; Brown, Catherine A.; Barnes, Colin L.
CORPORATE SOURCE: CRC Centre for Cancer Therapeutics, Institute of Cancer Research, Surrey, SM2 5NG, UK
SOURCE: Nucleosides, Nucleotides & Nucleic Acids (2001), 20(4-7), 921-925
CODEN: NNNAFY; ISSN: 1525-7770
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:37846

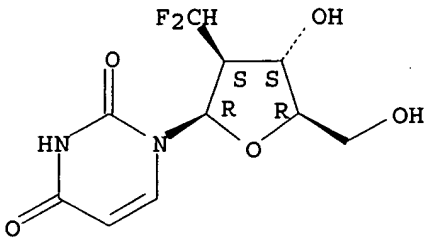
AB The 2'- and 3'-fluoroalkyl substituted nucleosides were prepared by hydrogenation of 2'-deoxy-2'-difluoromethylene-5'-O-dimethoxytrityluridine and 3'-deoxy-3'-difluoromethylene-5'-O-dimethoxytrityluridine, followed by detritylation, which gave two pairs of diastereoisomers (threo/erythro) each. Phosphitylation of prepared compds. furnished the corresponding 2'- and 3'-O-phosphoramidites. Reaction of 2'-deoxy-2'-difluoromethylene-5'-O-dimethoxytrityl-3'-O-trimethylsilylethoxymethyluridine and 3'-deoxy-3'-difluoromethylene-5'-O-dimethoxytrityl-2'-O-trimethylsilylethoxymethyluridine with tetrabutylammonium fluoride, resulted in fluorination at the unsatd. difluoromethylene carbon with loss of the trimethylsilylethoxymethyl group and formation of 2',3'-didehydro-2',3'-dideoxy-5'-O-dimethoxytrityl-2'-

trifluoromethyluridine and 2',3'-didehydro-2',3'-dideoxy-5'-O-dimethoxytrityl-3'-trifluoromethyluridine, resp.

IT 349654-62-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of fluoroalkyl substituted nucleosides and nucleotides by fluorination, or hydrogenation, detritylation and phosphitylation)

RN 349654-62-2 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-deoxy-2-(difluoromethyl)-β-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

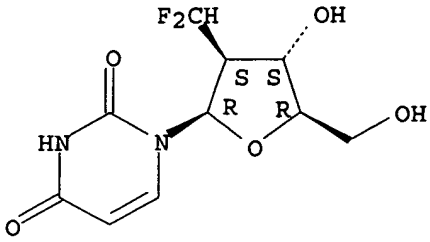
L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 20 May 2001
ACCESSION NUMBER: 2001:362036 CAPLUS
DOCUMENT NUMBER: 135:107541
TITLE: Synthesis of 3'-deoxy-3'-difluoromethyluridine and 2'-deoxy-2'-difluoromethyluridine
AUTHOR(S): Marcotte, Stephane; Gerard, Baudoin; Pannecoucke, Xavier; Feasson, Christian; Quirion, Jean-Charles
CORPORATE SOURCE: Laboratoire d'Heterochimie Organique associe au CNRS, IRCOF, INSA et Universite de Rouen, Mont Saint-Aignan, 76821, Fr.
SOURCE: Synthesis (2001), (6), 929-933
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:107541

AB The synthesis of 3'-deoxy-3'-difluoromethyluridine and 2'-deoxy-2'-difluoromethyluridine by hydrogenation of the corresponding difluoromethylene derivs. is described. A second synthesis of the latter has been performed. Starting from thymidine, a two-step procedure affords the benzylated furanoid glycal. Addition of dibromodifluoromethane gives the α-2'-deoxy-2'-bromodifluoromethylarabinose. This compound allowed an access to α- or β-2'-deoxy-2'-difluoromethyluridine via a SN2 type reaction on a α-halodeoxyarabinose species.

IT 349654-62-2P 349654-68-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 3-deoxy-3'-difluoromethyluridine and 2'-deoxy-2'-difluoromethyluridine)

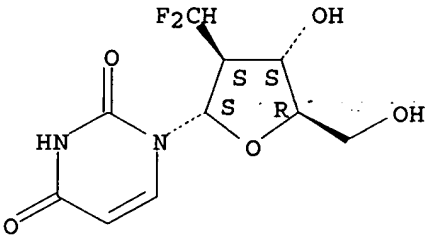
RN 349654-62-2 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-deoxy-2-(difluoromethyl)-β-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 349654-68-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-deoxy-2-(difluoromethyl)-α-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

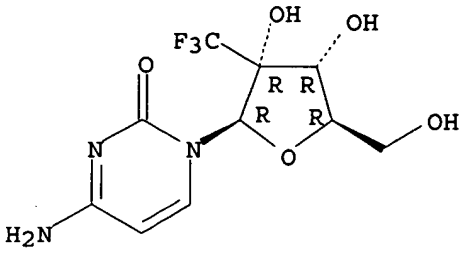
Absolute stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

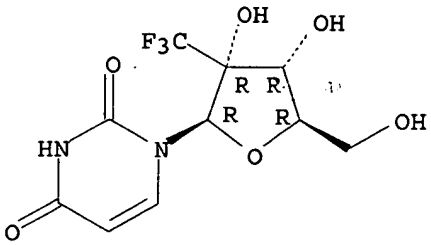
L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 08 Mar 2001
ACCESSION NUMBER: 2001:162325 CAPLUS
DOCUMENT NUMBER: 134:296038
TITLE: 2'-C-Branched Ribonucleosides. 2. Synthesis of 2'-C-β-Trifluoromethyl Pyrimidine Ribonucleosides
AUTHOR(S): Li, Nan-Sheng; Tang, Xiao-Qing; Piccirilli, Joseph A.
CORPORATE SOURCE: Department of Biochemistry and Molecular Biology and Department of Chemistry, The University of Chicago Howard Hughes Medical Institute, Chicago, IL, 60637, USA
SOURCE: Organic Letters (2001), 3(7), 1025-1028
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:296038
AB The first synthesis of 2'-C-β-trifluoromethyl pyrimidine ribonucleosides is described. 1,2,3,5-Tetra-O-benzoyl-2-C-β-trifluoromethyl-α-D-ribofuranose is prepared from 1,3,5-tri-O-benzoyl-α-D-ribofuranose in three steps and converted to 3,5-di-O-benzoyl-2-C-β-trifluoromethyl-α-D-1-ribofuranosyl bromide (I). The 1-bromo derivative I is found to be a powerful reaction intermediate for the synthesis of ribonucleosides. The reaction of silylated pyrimidines with I in the presence of HgO/HgBr2 affords exclusively the β-anomers, which after deprotection with ammonia in methanol yields the 2'-C-β-trifluoromethyl nucleosides.
IT 333996-73-9P 333996-74-0P 333996-75-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 2'-C-branched trifluoromethyl pyrimidine ribonucleosides)
RN 333996-73-9 CAPLUS
CN Cytidine, 2'-C-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



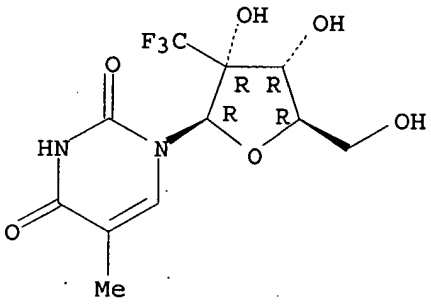
RN 333996-74-0 CAPLUS
CN Uridine, 2'-C-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 333996-75-1 CAPLUS
CN Uridine, 5-methyl-2'-C-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 08 Nov 1994
ACCESSION NUMBER: 1995:128314 CAPLUS
DOCUMENT NUMBER: 122:10468
TITLE: Preparation of 2'-deoxy-2'-(S)-substituted alkylcytidines as anticancer agents
INVENTOR(S): Yoshimura, Juichi; Saito, Kazuko; Ashida, Noryuki; Matsuda, Akira
PATENT ASSIGNEE(S): Yamasa Shoyu Kk, Japan; Yoshitomi Pharmaceutical Industries, Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06211890	A2	19940802	JP 1993-3532	19930112

PRIORITY APPLN. INFO.: JP 1993-3532 19930112
OTHER SOURCE(S): MARPAT 122:10468
GI

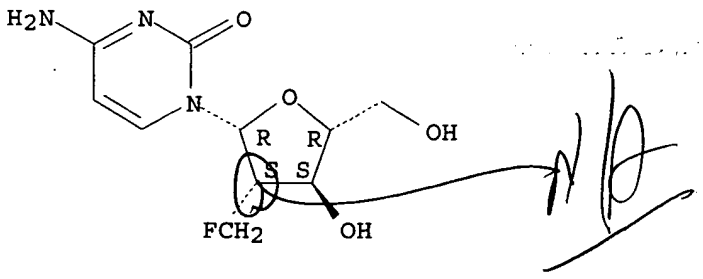
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I (R1 = OH, NH2; R2 = OH, acyloxy, halo; R3 = H, phosphate residue) or their salts are prepared by epoxidn. of II (R1 = same as above; Z = protecting group) with S ylides via III (R1, Z = same as above) and IV (R1, R2, Z = same as above). IV (R1 = OH, R2 = F, Z = trityl) was deprotected and treated with 1,3-dichloro-1,1,3,3-tetraisopropyldisiloxane in pyridine at room temperature overnight to give 59% 3',5'-di-O-tetraisopropyldisiloxyl-2'-fluoromethyl derivative The product was treated with methyloxalyl chloride and 4-dimethylaminopyridine in CH2Cl2 at room temperature overnight and the resulting crude product was refluxed with tributyltin hydride and AIBN in MePh for 2 h to afford tetraisopropyldisiloxyl-protected I (R1 = OH, R2 = F) (V). Amination and deprotection of V gave I (R1 = NH2, R2 = F, R3 = H), which inhibited cell growth of human leukemia cell at ID50 0.030 μg/mL.

IT 152502-85-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of anticancer 2'-deoxy-2'-(S)-alkylcytidines by epoxidn. of protected ketouridines)

RN 152502-85-7 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[2-deoxy-2-(fluoromethyl)-β-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 08 Nov 1994
ACCESSION NUMBER: 1995:66277 CAPLUS
DOCUMENT NUMBER: 122:56380
TITLE: The effects of 2'- and 3'-alkyl substituents on oligonucleotide hybridization and stability
AUTHOR(S): Schmit, Chantal; Bevierre, Marc-Olivier; De Mesmaeker, Alain; Altmann, Karl-Heinz
CORPORATE SOURCE: Cent. Res. Lab., CIBA, Basel, CH-4002, Switz.
SOURCE: Bioorganic & Medicinal Chemistry Letters (1994), 4(16), 1969-74

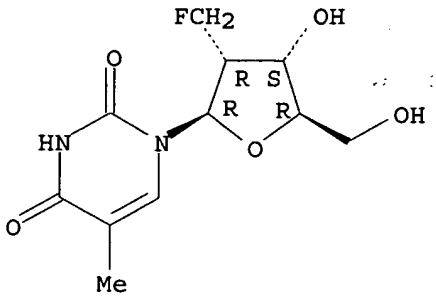
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The hybridization properties and nuclease resistance of 2'- and 3'-alkyl, -heteroalkyl, -alkenyl, and -aryl substituted oligodeoxyribonucleotides have been investigated. While such modified oligonucleotides generally exhibit reduced binding affinity for complementary RNA and DNA, a dramatic increase in stability against 3'-exonucleases was observed for certain 2'-substituents.

IT 159312-36-4 159312-37-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation, hybridization, and exonuclease stability of oligodeoxyribonucleotides)

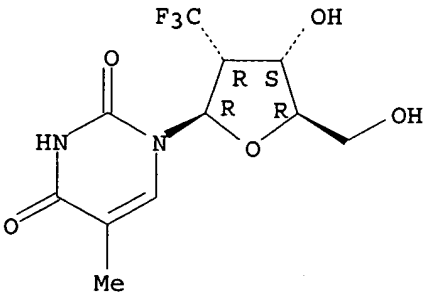
RN 159312-36-4 CAPLUS
CN Uridine, 2'-deoxy-2'-(fluoromethyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159312-37-5 CAPLUS
CN Uridine, 2'-deoxy-5-methyl-2'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 06 Aug 1994
ACCESSION NUMBER: 1994:449688 CAPLUS
DOCUMENT NUMBER: 121:49688
TITLE: Synthesis of 1-(2-deoxy-2-C-fluoromethyl-β-D-arabinofuranosyl)cytosine as a potential antineoplastic agent
AUTHOR(S): Yoshimura, Yuichi; Saitoh, Kazuko; Ashida, Noriyuki; Sakata, Shinji
CORPORATE SOURCE: Res. Dev. Div., Yamasa Corp., Choshi, 288, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (1994), 4(5), 721-4
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal

LANGUAGE: English

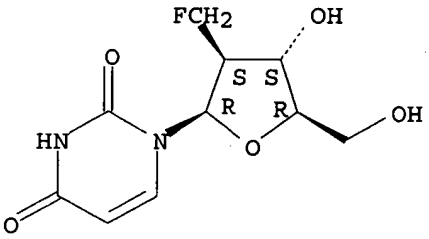
AB 2'-β-Spiroepoxyuridine was obtained from the reaction between 2'-ketouridine and dimethylsulfoxonium methylide. The oxirane ring was cleaved by KFHF and the resulting tertiary hydroxyl group was removed by radical deoxygenation using the t-Me oxalyl-tributyltin hydride system to give 2-deoxy-2-C-fluromethyl-1-β-D-arabinofuranosyluracil derivative Finally, the uracil moiety was converted to a cytosine counterpart, followed by deprotection to yield the title compound

IT 156179-26-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antitumor activity of)

RN 156179-26-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-deoxy-2-(fluoromethyl)-β-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 05 Mar 1994

ACCESSION NUMBER: 1994:94931 CAPLUS

DOCUMENT NUMBER: 120:94931

TITLE: Synthesis and biological activity of 1-(2-deoxy-2-C-fluoromethyl- and 2-C-hydroxymethylarabinofuranosyl)-cytosines

AUTHOR(S): Yoshimura, Yuichi; Saitoh, Kazuko; Ashida, Noriyuki; Sakata, Shinji; Sasaki, Takuma; Matsuda, Akira

CORPORATE SOURCE: Res. Dev. Div., Yamasa Corp., Choshi, 288, Japan

SOURCE: Nucleic Acids Symposium Series (1993), 29(Second International Symposium on Nucleic Acids Chemistry), 33-4
CODEN: NACSD8; ISSN: 0261-3166

DOCUMENT TYPE: Journal

LANGUAGE: English

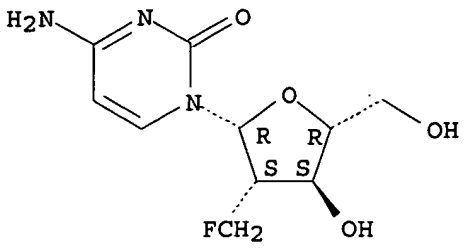
AB The authors newly synthesized 1-(2-deoxy-2-C-fluoromethyl- and 2-C-hydroxymethylarabinofuranosyl)cytosines and evaluated their biol. activities. The syntheses of these compds. were achieved by radical deoxygenation of tert-alc. of 2'-position of the corresponding fluorohydrine and acetoxymethyl derivative 1-(2-Deoxy-2-C-fluoromethylarabinofuranosyl)cytosine showed potent antileukemic and anticytomegalovirus activities.

IT 152502-85-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antileukemic and virucidal activity of)

RN 152502-85-7 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[2-deoxy-2-(fluoromethyl)-β-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


H2N
N
O
N
O
R
R
S
S
OH
FCH2
OH